Access DB# 56012

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Art Unit: 1624 Phone Num	6 5 30 6 · 5 31 ×	Examiner # :Serial Number:	Date: 12/3/61
Mail Box and Bldg/Room Location:	aco/ Resu	Ilts Format Preferred (circ	le): PAPER DISK E-MAIL
	******	*******	********
Please provide a detailed statement of the search Include the elected species or structures, keyw utility of the invention. Define any terms that known. Please attach a copy of the cover sheet	ords, synonyms, acron may have a special me	yms, and registry numbers, an aning. Give examples or rele	nd combine with the concept or
Title of Invention:			
Inventors (please provide full names):	Krauss N	Mirzadegan	T
Earliest Priority Filing Date:			
For Sequence Searches Only Please include all appropriate serial number.	pertinent information (parent, child, divisional, or issue	td patent numbers) along with the
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:/^	tigation	Lexis/NexisSequence Systems	
	ultent Family	WWW/Internet	••
	ther	Other (specify)	 ; `.

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=> fil reg; d stat que 122; fil capl; d que nos 123; fil uspatfull; d que nos 124 FILE 'REGISTRY' ENTERED AT 12:12:25 ON 05 DEC 2001 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2001 American Chemical Society (ACS)

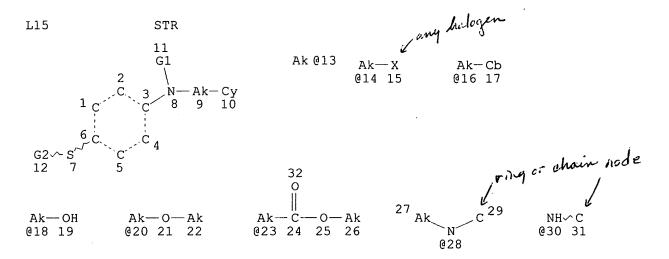
STRUCTURE FILE UPDATES: 3 DEC 2001 HIGHEST RN 373353-24-3 DICTIONARY FILE UPDATES: 3 DEC 2001 HIGHEST RN 373353-24-3

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf



VAR G1=C/CY VAR G2=13/14/16/18/20/23/28/30 NODE ATTRIBUTES: IS RC 29 NSPEC AT IS RC NSPEC AT31 CONNECT IS E3 RC AT 8 CONNECT IS E2 RC AT CONNECT IS E1 RC AT 13 CONNECT IS E2 RC AT 20 CONNECT IS E1 RC AT 22 CONNECT IS E2 RC AT 23 CONNECT IS E1 RC AT 26 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE

L17 198 SEA FILE=REGISTRY SSS FUL L15

L19 STR

full file search done on this structure

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, Ch is connected to exactly 2 non-hydrogen atoms

REP G1 = (0 - 4) C NODE ATTRIBUTES: CONNECT IS E2 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS MCY UNS AT DEFAULT ECLEVEL IS LIMITED ECOUNT IS E6 C AT

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE STR

9 G2 Ak @8 0--- Ak

REP G1 = (0 - 4) C 2 - Ch is connected to exactly 3 non-hydrogen atoms VAR G2=8/X/NO2/CN/OH/6NODE ATTRIBUTES: CONNECT IS E3 RC AT CONNECT IS E1 RC AT CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM IS MCY UNS AT DEFAULT ECLEVEL IS LIMITED ECOUNT IS E6 C AT

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

145 SEA FILE=REGISTRY SUB=L17 SSS FUL (L15 AND (L19 OR L20))

145 ANSWERS 100.0% PROCESSED 198 ITERATIONS SEARCH TIME: 00.00.06

FILE 'CAPLUS' ENTERED AT 12:12:26 ON 05 DEC 2001 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1947 - 5 Dec 2001 VOL 135 ISS 24 FILE LAST UPDATED: 3 Dec 2001 (20011203/ED)

Liu 09/844061 Page 3

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

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L15 STR
L17 198 SEA FILE=REGISTRY SSS FUL L15
L19 STR
L20 STR
L22 145 SEA FILE=REGISTRY SUB=L17 SSS FUL (L15 AND (L19 OR L20))
L23 54 SEA FILE=CAPLUS ABB=ON L22
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FILE 'USPATFULL' ENTERED AT 12:12:26 ON 05 DEC 2001 CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 4 Dec 2001 (20011204/PD)
FILE LAST UPDATED: 4 Dec 2001 (20011204/ED)
HIGHEST GRANTED PATENT NUMBER: US6327709
HIGHEST APPLICATION PUBLICATION NUMBER: US2001047529
CA INDEXING IS CURRENT THROUGH 4 Dec 2001 (20011204/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 4 Dec 2001 (20011204/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2001
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2001

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USPAT2 is now available. USPATFULL contains full text of the
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    original, i.e., the earliest published granted patents or
                                                                       <<<
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    applications. USPAT2 contains full text of the latest US
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    publications, starting in 2001, for the inventions covered in
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    USPATFULL. A USPATFULL record contains not only the original
                                                                       <<<
    published document but also a list of any subsequent
                                                                       <<<
    publications. The publication number, patent kind code, and
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    publication date for all the US publications for an invention
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    are displayed in the PI (Patent Information) field of USPATFULL
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    records and may be searched in standard search fields, e.g., /PN,
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    /PK, etc.
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    USPATFULL and USPAT2 can be accessed and searched together
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>>> Use USPATALL when searching terms such as patent assignees,
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>>> classifications, or claims, that may potentially change from
                                                                       <<<
>>> the earliest to the latest publication.
                                                                       <<<
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Liu 09/844061 Page 4

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L15
                STR
L17
            198 SEA FILE=REGISTRY SSS FUL L15
L19
                STR
L20
                STR
            145 SEA FILE=REGISTRY SUB=L17 SSS FUL (L15 AND (L19 OR L20))
L22
L24
             13 SEA FILE=USPATFULL ABB=ON L22
=> dup rem 123,124
FILE 'CAPLUS' ENTERED AT 12:12:34 ON 05 DEC 2001
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FILE 'USPATFULL' ENTERED AT 12:12:34 ON 05 DEC 2001
CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L23
PROCESSING COMPLETED FOR L24
             60 DUP REM L23 L24 (7 DUPLICATES REMOVED)
L26
                ANSWERS '1-54' FROM FILE CAPLUS
                ANSWERS '55-60' FROM FILE USPATFULL
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 26 ANSWER 1 OF 60 CAPLUS COPYRIGHT 2001 ACS
                                                      DUPLICATE 1
                        2001:792340 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         135:331672
                        Preparation of methionine derivatives as inhibitors of
TITLE:
                        protein isoprenyl transferases
                         Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.;
INVENTOR(S):
                         Barr, Kenneth J.; Fakhoury, Stephen A.; Janowick,
                         David A.; Kalvin, Douglas M.; O'connor, Stephen J.;
                         Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.;
                         Sorenson, Bryan K.; Sullivan, Gerard M.; Tasker,
                         Andrew S.; Wasicak, James T.; Nelson, Lissa T. J.;
                         Henry, Kenneth J.; Wang, Le
                         University of Pittsburgh, USA
PATENT ASSIGNEE(S):
                         U.S., 514 pp., Cont.-in-part of U.S. Ser. No. 852,858,
SOURCE:
                         abandoned.
                         CODEN: USXXAM
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                    KIND DATE
                                          APPLICATION NO. DATE
                                          ______
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                B1
                                        US 1998-73794
                                                          19980507
                           20011030
     US 6310095
                                       US 1995-7247 P 19951106
US 1996-740909 B2 19961105
PRIORITY APPLN. INFO.:
                                       US 1997-852858 B2 19970507
OTHER SOURCE(S):
                        MARPAT 135:331672
     Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents
     R1, R2, R4; L1 is L4NR5L5 where L4 and L5 are absent or alkylene, R5 is H,
     alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, etc.; Z is a covalent bond; R3 =
     cycloalkyl, alkoxy, alkyl, halogen, oxo, etc.] or their pharmaceutically
```

acceptable salts, were prepd. as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepd. via amidation

reaction, showed 92% inhibition of farnesyl transferase at 1x10-6 M.

IT 216232-65-4P 216233-15-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of methionine derivs. as inhibitors of protein isoprenyl transferases)

RN 216232-65-4 CAPLUS

CN L-Methionine, N-[[2'-methyl-5-[[[4-(methylthio)phenyl](phenylmethyl)amino] methyl][1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● Li

RN 216233-15-7 CAPLUS

CN L-Methionine, N-[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amin o]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● Li

IT 216229-16-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of methionine derivs. as inhibitors of protein isoprenyl transferases)

RN 216229-16-2 CAPLUS

CN L-Methionine, N-[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amin o]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

48

REFERENCE(S):

(1) Anon; EP 0203587 1986 CAPLUS

(2) Anon; EP 0456180 1991 CAPLUS (3) Anon; EP 0461869 1991 CAPLUS

(4) Anon; WO 9116340 1991 CAPLUS

(5) Anon; EP 0512865 1992 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2001 ACS ANSWER 2 OF 60

DUPLICATE 2

ACCESSION NUMBER: DOCUMENT NUMBER:

1998:186512 CAPLUS 128:230259

TITLE:

Preparation of N-(piperidinoalkyl)benzamides and

analogs as 5-HT2A antagonists

INVENTOR(S):

Aoki, Tsuyoshi; Takahashi, Atsuo; Sato, Hiroyasu; Shimanuki, Eiji; Gengyou, Kaoru; Nishimata, Toyoki; Ishigami, Sachiko; Yamada, Shin-ichi; Yamaguchi,

Takahiro; Manome, Yoichi; Sato, Isamu; Kogi, Kentaro;

Narita, Sen-ichi

PATENT ASSIGNEE(S):

SOURCE:

Toa Eiyo, Ltd., Japan

U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 363,223,

abandoned. CODEN: USXXAM

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5728835 PRIORITY APPLN. INFO	A).:	19980317	US 1995-575062 JP 1993-346805 US 1994-363223	19951219 19931227 19941223

OTHER SOURCE(S):

MARPAT 128:230259

GΙ

AB R1Z1NR2(CH2)nZ2COR3 [I; R1 = (un)substituted Ph, -(N-oxido)pyridyl; R2 = (un)substituted Ph, -pyridyl; R3 = (un)substituted Ph; Z1 = CO or SO2; Z2 = piperidine-1,4-diyl; n = 2-3] were prepd. Thus, 3-(MeO)C6H4COCl was amidated by 2-(MeO)C6H4NH2 and the product N-alkylated by 2-(2-bromoethyl)tetrahydropyran to give, after deprotection and oxidn., 3-(MeO)C6H4CON(CH2CHO)C6H4(OMe)-2 which was reductively condensed with 4-(4-fluorobenzoyl)piperidine to give title compd. II. Data for biol. activity of I were given.

Ι

IT 169948-95-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(piperidinoalkyl)benzamides and analogs as 5-HT2A antagonists)

RN 169948-95-2 CAPLUS

CN Benzamide, 3-(acetylamino)-N-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-N-[4-(methylthio)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 169948-94-1 CMF C30 H32 F N3 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

Liu Page 8

L26 ANSWER 3 OF 60 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 3

ACCESSION NUMBER: 1992:244880 CAPLUS

DOCUMENT NUMBER: 116:244880

TITLE: Nonlinear optical devices

INVENTOR(S): Allen, Diane; Lee, Cherylyn; DeMartino, Ronald N.

Hoechst Celanese Corp., USA PATENT ASSIGNEE(S):

SOURCE: U.S., 6 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5041510 WO 9112280	A A1	19910820 19910822	US 1990-477283 WO 1990-US6752	19900207 19901116

W: CA, JP

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE PRIORITY APPLN. INFO.: US 1990-477283 19900207

GΙ

An isotropic acrylic copolymer is characterized by recurring monomeric AB units corresponding to I (R = H, C1-4-alkyl; R1 = C1-6-alkyl; m + m1.gtoreq.10 and integer; n = 1-20; A = SO2CF3, Q1, Q2; X = H, CN, NO2, CF3). The copolymers exhibit nonlinear optical response, and have utility as a transparent optical component in all-optical and electrooptical light switch and light modulator devices.

TΤ 141565-25-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(reaction and prepn. of, for nonlinear electro-optical materials)

RN 141565-25-5 CAPLUS

Benzenemethanamine, N-(phenylmethyl)-N-[4-[(trifluoromethyl)sulfonyl]pheny CN 1]- (9CI) (CA INDEX NAME)

Cel or ret b

6 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 4

ACCESSION NUMBER: 1989:101836 CAPLUS

DOCUMENT NUMBER: 110:101836

TITLE: Pharmaceuticals containing aromatase-inhibiting amine

compounds for the treatment of estrogen-dependent

diseases

INVENTOR(S): Hirsch, Kenneth S.; Taylor, Harold M.

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA

SOURCE:

U.S., 9 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
US 4767770 A 19880830 US 1984-621416 19840618

OTHER SOURCE(S):

MARPAT 110:101836

GΙ

$$G$$
 $CHR^{1}-N$
 $(CHR^{2})_{p}$
 R^{3}
 R^{4}
 CHR^{2}
 R^{5}
 CHR^{2}
 R^{5}

AB Estrogen-dependent diseases such as breast carcinoma are treated or prevented by the aromatase inhibitors I (G, Q = CH, N; G .noteq. Q = N; R1, R2 = H, Me; R3, R4 = H, halo, CF3, NO2, alkyl, alkoxy, alkylthio; X = O, S; R5 = H, Me; n = 1, 2; p = 0, 1, 2). In the rat ovarian microsome .assay (Brodie et al., 1976), N-(4-chlorophenyl)-N-(4,5-dihydro-2-thiazolyl)-3-pyridinemethanamine inhibited the aromatization of androstenedione-3H with a EC50 of 0.068 .mu.M. Gelatin capsules comprised N-(4-trifluoromethylphenyl)-N-(4,5-dihydro-2-oxazolyl)-3-pyridinemethanamine 250, starch 200, and Mg stearate 10 mg.

Ι

IT 89985-16-0

RL: BIOL (Biological study)

(aromatase inhibition by, estrogen-dependent disease treatment in relation to)

RN 89985-16-0 CAPLUS

CN 3-Pyridinemethanamine, N-(4,5-dihydro-2-thiazolyl)-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

MG ANSWER 5 OF 60 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 5

ACCESSION NUMBER: 1977:567887 CAPLUS

DOCUMENT NUMBER: 87:167887

TITLE: 3-Pyridylmethyl phenylcarbamates

INVENTOR(S): Kilbourn, Edward E.; Weiler, Ernest D.

PATENT ASSIGNEE(S): Rohm and Haas Co., USA

SOURCE: U.S., 4 pp. Division of U.S. 3,925,397.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4033972	А	19770705	US 1975-611759	19750909
US 3925397	A	19751209	US 1974-497542	19740814
PRIORITY APPLN.	INFO.:		US 1974-497542	19740814
GI				

 \sim CH₂O₂CNR \sim R¹

AB The title compds. I (R = Me, Bu, allyl, PhCH2, 2-thenyl, octyl; R1 = CN, SMe, NO2), possessing rodenticidal activity against albino rats (Rattus norvegicus) at 50-200 mg/kg, were prepd. by carbamoylation of 3-pyridinecarbinol with 4-R1C6H4NRCOC1.

IT 58259-21-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

Ι

(prepn. and rodenticidal activity of)

RN 58259-21-5 CAPLUS

CN Carbamic acid, [4-(methylthio)phenyl](phenylmethyl)-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

MeS CH2-Ph | N-C-O-CH2 | O

IT 58259-30-6

RL: RCT (Reactant)

(reaction of, with pyridinemethanol)

58259-30-6 CAPLUS RN

CN Carbamic chloride, [4-(methylthio)phenyl](phenylmethyl)- (9CI) (CA INDEX

L26 ANSWER 6 OF 60 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 6

1977:197939 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 86:197939

TITLE: Photoconductor elements containing substituted aniline

photoconductor compounds

INVENTOR(S): Mattor, John A.

PATENT ASSIGNEE(S): Scott Paper Co., USA

U.S., 11 pp. SOURCE: CODEN: USXXAM

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ______ US 3994724 Α 19761130 US 1969-844186 19690723 Derivs. of the N-substituted aniline compds.: 4,4'-oxy- and

AB 4,4'-thiodianiline, p-alkoxy- and p-alkylthioaniline, and unsubstituted or Me-substituted p-phenoxyaniline, are used as electrophotog. photoconductors in the presence of electron-accepting sensitizers, such as the known substituted 9-fluorenone compds. Thus, a photoconductive coating compn. yielding clear images in an electrophotog. member contained polystyrene soln. (1 g polystyrene/10 mL MeCl) 24, N,N-bis(4-methylbenzyl)-4-methylthioaniline 0.15 g, and 9,10-phenanthrenedione 0.25 mL.

ΙT 62849-32-5 62849-39-2 62849-47-2

RL: USES (Uses)

(electrophotog. photoconductor)

RN 62849-32-5 CAPLUS

Benzenemethanamine, 4-methyl-N-[(4-methylphenyl)methyl]-N-[4-CN (methylthio)phenyl] - (9CI) (CA INDEX NAME)

RN 62849-39-2 CAPLUS

Benzenemethanamine, 2-methyl-N-[(2-methylphenyl)methyl]-N-[4-CN

(methylthio)phenyl] - (9CI) (CA INDEX NAME)

RN 62849-47-2 CAPLUS

CN Benzenemethanamine, N-[4-(ethylthio)phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

6 ANSWER 7 OF 60 CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 7

ACCESSION NUMBER:

1976:74116 CAPLUS

DOCUMENT NUMBER:

84:74116

TITLE:

3-Pyridylmethyl-(N-substituted phenyl)-carbamate

derivatives

INVENTOR(S):

Kilbourn, Edward E.; Weiler, Ernest D.

PATENT ASSIGNEE(S):

Rohm and Haas Co., USA

SOURCE:

U.S., 5 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3925397	A	19751209	US 1974-497542	19740814
NL 7508752	A	19760217	NL 1975-8752	19750722
ZA 7504710	Α	19760728	ZA 1975-4710	19750722
IL 47764	A1	19780831	IL 1975-47764	19750722
JP 51041365	A2	19760407	JP 1975-93661	19750731
CS 181184	P	19780331	CS 1975-5523	19750811
BE 832383	A1	19760213	BE 1975-159159	19750813
DE 2536192	A1	19760304	DE 1975-2536192	19750813
FR 2281927	A1	19760312	FR 1975-25261	19750813
DD 121012	С	19760712	DD 1975-187836	19750813
ES 440233	A1	19771116	ES 1975-440233	19750813
CH 602000	Α	19780714	CH 1975-10588	19750813
AU 7583986	A1	19770217	AU 1975-83986	19750814
AT 7506340	A	19771115	AT 1975-6340	19750814
US 4033972	Α	19770705	US 1975-611759	19750909
PRIORITY APPLN. INFO.	:		US 1974-497542	19740814

GI For diagram(s), see printed CA Issue.

AB Twelve pyridylmethyl carbamates I [R = cyano, MeS, NO2; R1 = Me, Bu,

allyl, PhCH2, (CH2)7Me, 2-thenyl], useful as rodenticides, were prepd. by: (a) treating 3-pyridylcarbinol (II) in glyme with NaH in oil, then, after cessation of H evolution, with carbamoyl chloride III in glyme or, (b) adding II in NEt3 to III in benzene. III were prepd. by reacting the secondary amines p-RC6H4NHR1 with COC12 in an inert solvent at room temp. I [R = NO2, R1 = (CH2)7Me] was inactive, but all other I gave 100% kill of albino rats at 50-200 mg/kg in 3-24 hr.

ΙT 58259-30-6P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction with 3-pyridylcarbinol)

RN 58259-30-6 CAPLUS

Carbamic chloride, [4-(methylthio)phenyl](phenylmethyl)- (9CI) (CA INDEX CN

IT 58259-21-5P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and rodenticidal activity of)

58259-21-5 CAPLUS RN

Carbamic acid, [4-(methylthio)phenyl](phenylmethyl)-, 3-pyridinylmethyl CN ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeS} & \text{CH}_2\text{-Ph} \\ \hline & \text{N} & \text{C} \\ \hline & \text{O} - \text{CH}_2 \\ \hline & \text{N} \end{array}$$

L26 ANSWER 8 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:

2001:816621 CAPLUS

TITLE:

Preparation of N-substituted para-

INVENTOR(S):

(sulfonyl) (hetero) arylamines as COX-2 inhibitors Krauss, Nancy Elisabeth; Mirzadegan, Taraneh; Smith,

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2000-200310 P 20000428 GΙ

The title compds. [I; A = (CR2)n; n = 1-3; R = H, alkyl; B = (hetero)aryl; AB X, Y = CH, N; R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, cycloalkyl, aryl, etc.; R3 = H, alkyl, halo, etc.] which have prostaglandin G/H synthase inhibitor activity and are suitable for the treatment of inflammatory diseases, such as myositis, synovitis, rheumatoid arthritis, osteoarthritis, gout, ankylosing spondylitis and bursitis, for the treatment of Alzheimer's disease or of an autoimmune disease such as systemic lupus erythematosus and type I diabetes, were prepd. and formulated. E.g., a multi-step synthesis of I [A = CH2; B = 4-MeC6H4; X,Y = CH; R1 = (CH2)2SO2Me; R2 = NH2; R3 = H] which showed IC50 of < 5.0.mu.M against COX-2, was given.

IT 372121-14-7P 372121-45-4P

Ι

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2 inhibitors)

RN 372121-14-7 CAPLUS

1-Pyrrolidinecarboxylic acid, 3-[[(4-fluorophenyl)methyl][4-CN (methylsulfonyl)phenyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 372121-45-4 CAPLUS

CN Benzenemethanamine, 4-fluóro-N-[4-(methylsulfonyl)phenyl]-N-[4-(methylthio)phenyl] - (9CI) (CA INDEX NAME)

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ΙT
     372120-78-0P 372120-79-1P 372120-80-4P
     372120-81-5P 372120-82-6P 372120-83-7P
     372120-84-8P 372120-85-9P 372120-86-0P
     372120-87-1P 372120-88-2P 372120-89-3P
     372120-90-6P 372120-91-7P 372120-92-8P
     372120-93-9P 372120-94-0P 372120-95-1P
     372120-96-2P 372120-97-3P 372120-98-4P
     372120-99-5P 372121-00-1P 372121-01-2P
     372121-02-3P 372121-03-4P 372121-04-5P
     372121-05-6P 372121-06-7P 372121-07-8P
     372121-08-9P 372121-09-0P 372121-10-3P
    372121-11-4P 372121-12-5P 372121-13-6P
     372121-15-8P 372121-16-9P 372121-17-0P
     372121-18-1P 372121-19-2P 372121-20-5P
     372121-21-6P 372121-22-7P 372121-23-8P
     372121-24-9P 372121-25-0P 372121-26-1P
     372121-27-2P 372121-28-3P 372121-29-4P
     372121-30-7P 372121-31-8P 372121-32-9P
     372121-33-0P 372121-34-1P 372121-35-2P
    372121-38-5P 372121-39-6P 372121-40-9P
     372121-41-0P 372121-42-1P 372121-43-2P
     372121-44-3P 372121-46-5P 372121-47-6P
    372121-48-7P 372121-49-8P 372121-50-1P
     372121-51-2P 372121-52-3P 372121-53-4P
     372121-54-5P 372121-55-6P 372121-56-7P
     372121-57-8P 372121-58-9P 372121-68-1P
     372121-69-2P 372176-74-4P
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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2 inhibitors)

RN 372120-78-0 CAPLUS

CN

Benzenemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372120-79-1 CAPLUS

CN Benzenemethanamine, 2-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2-S-Me \\ \parallel & \parallel & \\ Me-S & \parallel & \\ O & N-CH_2 \end{array}$$

RN 372120-80-4 CAPLUS

CN Benzenemethanamine, 2-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372120-81-5 CAPLUS

CN Benzenemethanamine, 3,4-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ || & O & || \\ Me - S & O & || \\ O & N - CH_2 - S - Me \\ O & O & F \end{array}$$

RN 372120-82-6 CAPLUS

CN Benzenemethanamine, 2-chloro-4-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2 & O \\ \parallel & S-Me \\ \hline Me-S & C1 & O \\ \hline N-CH_2 & F \end{array}$$

RN 372120-83-7 CAPLUS

CN Benzenemethanamine, 3,4-dimethyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2-S-Me \\ Me-S & O \\ O & N-CH_2 \end{array}$$

RN 372120-84-8 CAPLUS

CN Benzenemethanamine, 2,4-dichloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2 & O \\ \parallel & S-Me \\ \hline Me-S & C1 & O \\ \hline O & N-CH_2 & C1 \\ \hline \end{array}$$

RN 372120-85-9 CAPLUS

CN Benzenemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 372120-86-0 CAPLUS

CN Benzenemethanamine, 2-bromo-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372120-87-1 CAPLUS

CN Benzenemethanamine, 3-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2-S-Me \\ \parallel & 0 \\ \parallel & O \\ \hline O & N-CH_2 \end{array}$$

RN 372120-88-2 CAPLUS

CN Benzenemethanamine, 3-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372120-89-3 CAPLUS

CN Benzenemethanamine, 4-bromo-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

- RN 372120-90-6 CAPLUS
- CN Benzenemethanamine, 2,3-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2 & S-Me \\ \hline Me-S & F & O \\ \hline N-CH_2 & F \end{array}$$

- RN 372120-91-7 CAPLUS
- CN Benzenemethanamine, 3,5-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \\ Me^{-}S & \parallel & O \\ \hline O & N-CH_2 & F \end{array}$$

- RN 372120-92-8 CAPLUS
- CN Benzoic acid, 4-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \\ Me - S & \parallel & \\ O & N - CH_2 - \\ & O & \\ & O & \\ & C - OMe \\ \parallel & O & \\ & O & \\ \end{array}$$

RN 372120-93-9 CAPLUS

CN Benzenemethanamine, 2,5-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2 & S-Me \\ \hline Me-S & F & O \\ \hline N-CH_2 & F \\ \hline \end{array}$$

RN 372120-94-0 CAPLUS

CN 4-Thiazolemethanamine, 2-methyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-S-Me \\ \parallel & O \\ S-Me \\ \parallel & O \\ \end{array}$$

RN 372120-95-1 CAPLUS

CN 2-Thiazolemethanamine, 4-methyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 372120-96-2 CAPLUS

CN 4-Thiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 372120-97-3 CAPLUS

CN 4-Thiazolemethanamine, 2-(4-chlorophenyl)-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-S-Me \\ & \\ & \\ & \\ CH_2-CH_2-S-Me \\ & \\ & \\ & \\ O \end{array}$$

RN 372120-98-4 CAPLUS

CN Benzenemethanamine, N-ethyl-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \parallel & & & \\ Me-S & & & \\ \hline O & & & \\ \hline & N-CH_2 & \\ \end{array}$$

09/844061

RN 372120-99-5 CAPLUS

CN 2-Thiophenemethanamine, N-butyl-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & O \\ \parallel & \\ S-Me \\ \hline \\ S - CH_2 - N \\ \hline \end{array}$$

RN 372121-00-1 CAPLUS

CN Benzenemethanamine, N-butyl-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-01-2 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-pentyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ N - S \\ \parallel & \\ O & \parallel & \\ N - CH_2 \end{array}$$

RN 372121-02-3 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-(1-methylethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-03-4 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 372121-04-5 CAPLUS

CN Benzenemethanamine, N-butyl-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-05-6 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-(3-methylbutyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{II} \\ \text{O} \\ \text{O} \\ \end{array}$$

RN 372121-06-7 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-(2-methylpropyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-07-8 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-(2-methoxyethyl)-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-08-9 CAPLUS

CN Benzenemethanamine, .alpha.-methyl-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-09-0 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-[3-(methylsulfonyl)propyl]- (9CI) (CA INDEX NAME)

RN 372121-10-3 CAPLUS

CN Benzenemethanamine, N-[2-(ethylsulfonyl)ethyl]-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-11-4 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2-S-Me \\ \parallel & 0 \\ N-CH_2 \end{array}$$

RN 372121-12-5 CAPLUS

CN Ethanol, 2-[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]-(9CI) (CA INDEX NAME)

RN 372121-13-6 CAPLUS

CN Benzenemethanamine, N-(cyclopropylmethyl)-4-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$CH_2-N-CH_2$$

$$O=S-Me$$

$$0$$

RN 372121-15-8 CAPLUS

CN 4-Morpholineethanamine, N-[(4-fluorophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & \\ & N & \\ & & \\ O & & \\ & & \\ Me-S & & \\ & & \\ CH_2 & \\ & & \\ CH_2 & \\ & & \\ N-CH_2 & \\ \end{array}$$

RN 372121-16-9 CAPLUS

CN 3-Pyrrolidinamine, N-[(4-fluorophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

RN 372121-17-0 CAPLUS

CN 2-Pyrrolidinone, 1-[3-[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]propyl]- (9CI) (CA INDEX NAME)

RN 372121-18-1 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ N-CH_2 \end{array}$$

RN 372121-19-2 CAPLUS

CN Acetamide, N-[(4-fluorophenyl)methyl]-N-[2-[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]ethyl]- (9CI) (CA INDEX NAME)

RN 372121-20-5 CAPLUS

CN Benzenemethanamine, 2,4-difluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-21-6 CAPLUS

CN 2-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-22-7 CAPLUS

CN Benzenemethanamine, 4-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2-S-Me \\ \parallel & 0 \\ \hline O & N-CH_2 \end{array}$$

RN 372121-23-8 CAPLUS

CN Benzenemethanamine, N-butyl-2-chloro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \parallel & & & \\ Me^{-S} & & & \\ \parallel & & & \\ O & & & \\ \end{array}$$

RN 372121-24-9 CAPLUS

CN Benzenemethanamine, N-butyl-2-fluoro-N-[4-(methylsulfonyl)phenyl]- (9CI)

(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline Me-S & & & Bu-n & F \\ \hline O & & & N-CH_2 \\ \end{array}$$

RN 372121-25-0 CAPLUS

CN Benzenemethanamine, N-butyl-2-methoxy-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ Me-S \\ \parallel \\ O \\ \end{array} \begin{array}{c} n-Bu \\ \parallel \\ N-CH_2 \end{array}$$

RN 372121-26-1 CAPLUS

CN Benzenemethanamine, N-butyl-4-chloro-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-27-2 CAPLUS

CN Benzenemethanamine, N-butyl-4-methoxy-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & & & & \\
Me - S & & & & \\
& & & & \\
O & & & & \\
O & & & & \\
\end{array}$$

$$\begin{array}{c|c}
Bu-n & & & \\
N-CH_2 & & & \\
\end{array}$$

RN 372121-28-3 CAPLUS

CN Benzonitrile, 4-[[butyl[4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \parallel & & & \\ Me^{-S} & & & \\ \parallel & & & \\ O & & & & \\ N-CH_2 & & & \\ \end{array}$$

RN 372121-29-4 CAPLUS

CN Benzenemethanamine, N-butyl-2,4-difluoro-N-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

RN 372121-30-7 CAPLUS

CN Benzenemethanamine, N-butyl-3,4-difluoro-N-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & F \\ \parallel & \\ Me - S & \\ \parallel & \\ O & \\ \hline & N - CH_2 \end{array}$$

RN 372121-31-8 CAPLUS

CN Benzenemethanamine, 4-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & & \parallel \\ Me-S & & \parallel \\ O & & N-CH_2 \end{array}$$

RN 372121-32-9 CAPLUS

CN Benzenemethanamine, 2-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-CH_2-S-Me \\ \parallel & \parallel & 0 \\ O & N-CH_2 \end{array}$$

RN 372121-33-0 CAPLUS

CN 3-Pyridazinemethanamine, 6-chloro-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

- RN 372121-34-1 CAPLUS
- CN 3(2H)-Pyridazinone, 6-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

- RN 372121-35-2 CAPLUS
- CN 2-Benzothiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-S-Me \\ & \\ & \\ O \\ \hline \\ CH_2-N \\ & \\ O \\ \end{array}$$

- RN 372121-38-5 CAPLUS
- CN 2-Thiazolemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-S-Me \\ & \parallel \\ & O \\ \hline \\ S-Me \\ & \parallel \\ O \\ \end{array}$$

RN 372121-39-6 CAPLUS

CN 3-Pyridinemethanamine, 6-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 372121-40-9 CAPLUS

CN 3-Pyridinemethanamine, 6-ethoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 372121-41-0 CAPLUS

CN Benzenemethanamine, 4-ethoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-42-1 CAPLUS

CN 3-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-43-2 CAPLUS

CN 4-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-S-Me \\ \hline \\ O \\ CH_2-N \\ \hline \\ O \\ S-Me \\ \hline \\ O \\ \end{array}$$

RN 372121-44-3 CAPLUS

CN Benzenemethanamine, 4-fluoro-N, N-bis[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-46-5 CAPLUS

CN 2-Butanone, 4-[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & CH_2-CH_2-C-Me \\ Me-S & N-CH_2 \end{array}$$

RN 372121-47-6 CAPLUS

CN Benzenepropanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-48-7 CAPLUS

CN Benzenemethanamine, 4-ethoxy-N-[3-fluoro-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 372121-49-8 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[3-fluoro-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)

RN 372121-50-1 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[3-methoxy-4-(methylsulfonyl)phenyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)

RN 372121-51-2 CAPLUS

CN Benzenemethanamine, 4-(methylsulfonyl)-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-52-3 CAPLUS

CN 3-Thiophenemethanamine, N-[(4-ethoxyphenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 372121-53-4 CAPLUS

CN 1H-Imidazole-4-methanamine, N-[(4-ethoxyphenyl)methyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ \end{array}$$

$$O = S - Me$$

$$O = S - Me$$

RN 372121-54-5 CAPLUS

CN Benzenemethanamine, 4-ethoxy-N-[2-(methylsulfinyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & CH_2-CH_2-S-Me \\ Me-S & O \end{array}$$

RN 372121-55-6 CAPLUS

CN Phenol, 2-fluoro-5-[[[2-(methylsulfonyl)ethyl][4-(methylsulfonyl)phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 372121-56-7 CAPLUS

CN Ethanesulfonamide, 2-[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

RN 372121-57-8 CAPLUS

CN 1H-Imidazole, 1-[[2-[[(4-fluorophenyl)methyl][4-(methylsulfonyl)phenyl]amino]ethyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 372121-58-9 CAPLUS

CN Benzenesulfonamide, N-[(4-methoxyphenyl)methyl]-4-[[(4-methylphenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 372121-68-1 CAPLUS

CN Benzenesulfonamide, N-[(2-fluorophenyl)methyl]-4-[[(2-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 372121-69-2 CAPLUS

CN Benzenesulfonamide, N-ethyl-4-[[(4-fluorophenyl)methyl][2-(methylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 372176-74-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

D1-OH

IT 372122-02-6

RL: RCT (Reactant)
 (prepn. of N-substituted para-(sulfonyl)(hetero)arylamines as COX-2
 inhibitors)

RN 372122-02-6 CAPLUS

CN Benzenemethanamine, 4-fluoro-3-methoxy-N-[2-(methylsulfonyl)ethyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

IT 372121-71-6P 372121-76-1P 372121-78-3P 372121-83-0P 372121-97-6P 372122-00-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of N-substituted para-(sulfonyl) (hetero) arylamines as COX-2 inhibitors)

RN 372121-71-6 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylthio)phenyl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

RN 372121-76-1 CAPLUS

CN Benzenemethanamine, 4-fluoro-N-[4-(methylsulfonyl)phenyl]-N-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & & \\
\text{Me}-S & & \text{CH}_2-\text{CH}_2-\text{SMe} \\
O & & & \\
O & & & \\
\end{array}$$

372121-78-3 CAPLUS RN

CN 2-Pyridinemethanamine, N-[2-(methylsulfonyl)ethyl]-N-[4-(methylthio)phenyl] - (9CI) (CA INDEX NAME)

Liu

372121-83-0 CAPLUS RN

2-Butanone, 4-[[(4-fluorophenyl)methyl][4-(methylthio)phenyl]amino]- (9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \circ \\ \parallel & \parallel & \\ \text{MeS} & & \downarrow \\ & N-CH_2 & & \end{array}$$

RN 372121-97-6 CAPLUS

CN Benzenesulfonamide, N-ethyl-4-[[(4-fluorophenyl)methyl][2-(methylthio)ethyl]amino] - (9CI) (CA INDEX NAME)

RN 372122-00-4 CAPLUS

3-Thiophenemethanamine, N-[(4-ethoxyphenyl)methyl]-N-[4-CN (methylthio)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 9 OF 60 CAPLUS COPYRIGHT 2001 ACS

2001:265385 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:295739

TITLE: Preparation of N-aryl-N-(heterocyclylalkyl)piperidinec

arboxamides as CCR5 antagonists

INVENTOR(S): Imamura, Shinichi; Hashiguchi, Shohei; Hattori, Taeko;

Nishimura, Osamu; Kanzaki, Naoyuki; Baba, Masanori;

Sugihara, Yoshihiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 392 pp.

1

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	API	PLICATION NO.	DATE				
WO 2001025200	A1 2001	0 <u>412</u> WO	2000-JP6755	20000929				
W: AE, AG,	AL, AM, AU,	AZ, BA, BB, I	BG, BR, BY, BZ,	CA, CN, CR, CU,				
				JP, KG, KR, KZ,				
LC, LK,	LR, LT, LV,	MA, MD, MG, N	MK, MN, MX, MZ,	NO, NZ, PL, RO,				
RU, SG,	SI, SK, TJ,	TM, TR, TT, T	UA, US, UZ, VN,	YU, ZA, AM, AZ,				

Page 42

BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG JP 2001302633 Α2 20011031 JP 2000-302841 20000929 PRIORITY APPLN. INFO.: JP 1999-282088 A 19991001 JP 2000-46749 A 20000218 OTHER SOURCE(S): MARPAT 134:295739

Title compds. (I) [wherein R1 = H, (un) substituted hydrocarbon or nonarom. AB heterocycle; R2 = (un)substituted hydrocarbon or nonarom. heterocycle; or R1 and R2 together with A form an (un)substituted heterocycle; A = N or N+(R5).bul.Y-; R5 = hydrocarbon; Y- = counteranion; R3 = (un) substituted (hetero)cycle; n = 0 or 1; R4 = H or (un)substituted hydrocarbon, heterocycle, alkoxy, aryloxy, or amino group; E = (un)substituted divalent aliph. hydrocarbon; G1 = a bond, CO, or SO2; G2 = CO, SO2, NHCO, CONH, or OCO; J = CH or N; Q and R = independently a bond or (un)substituteddivalent aliph. hydrocarbon; provided that J = CH when G2 = OCO, that 1 of Q and R is not a bond when the other is a bond, and that each of Q and R is not substituted by oxo group(s) when G1 is a bond; or a salt thereof] were prepd. as potent chemokine receptor CCR5 antagonists. I are useful for the treatment or prevention of the HIV disease in humans (e.g. AIDS). For example, II.bul.HCl was synthesized in 34% yield in a 2-step process involving addn. of TFA to a soln. of 1-tert-butoxycarbonyl-4-(2benzothiazolylthio)piperidine in CH2Cl2, followed by addn. of AcCN, 1-acetyl-N-(3-chlorophenyl)-N-(3-chloropropyl)-4-piperidinecarboxamide, K2CO3, and KI to the residue and workup. II.bul.HCl showed 96% inhibition of HIV-1 infection in transformant MAGI-CCR5 cells. In addn., 42 example compds. were tested and gave inhibition rates of 82% to 100% at 1.0 .mu.M in a CCR5 antagonistic activity assay.

IT 333993-70-7P, N-[4-(Methylthio)phenyl]-1-(methylsulfonyl)-N-[3-[4-[4-(methylsulfonyl)benzyl]-1-piperidinyl]propyl]-4-piperidinecarboxamide RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamide CCR5 antagonists by amidation of N-(arylheterocyclyl)alkylamines or addn. of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

333993-70-7 CAPLUS

RN

CN

4-Piperidinecarboxamide, 1-(methylsulfonyl)-N-[3-[4-[[4-(methylsulfonyl)phenyl]methyl]-1-piperidinyl]propyl]-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

IT 333993-71-8P, 1-(Methylsulfonyl)-N-[3-[4-[4-

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamide CCR5 antagonists by amidation of N-(arylheterocyclyl)alkylamines or addn. of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

RN 333993-71-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-(methylsulfonyl)-N-[4-(methylsulfonyl)phenyl]-N[3-[4-[[4-(methylsulfonyl)phenyl]methyl]-1-piperidinyl]propyl]- (9CI) (CA
INDEX NAME)

REFERENCE COUNT:

REFERENCE(S):

6

(1) Bhuniya; CAPLUS

(2) Bhuniya; SYNTH COMMUN 1994, V24(3), P375 CAPLUS

(3) Bolhofer, W; US 4203988 A 1980 CAPLUS

(4) Pharmaceutical Discovery Corp; WO 9422861 A 1994 CAPLUS

(5) Porter, R; WO 9917773 A 1999 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 60 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 2001:185739 CAPLUS

DOCUMENT NUMBER: 134:2373

TITLE:

INVENTOR(S):

134:237301

Preparation of benzophenones and phenyl heteroaryl ketones as inhibitors of reverse transcriptase Andrews, Clarence Webster; Chan, Joseph Howing;

Freeman, George Andrew; Romines, Karen Rene; Tidwell,

Jeffrey H.

PATENT ASSIGNEE(S):

Glaxo Group Limited, UK; Pianetti, Pascal Maurice

Charles

SOURCE:

GI

PCT Int. Appl., 436 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO. KIN				ND	DATE			APPLICATION NO.					DATE			
													20000831				
WO	2001	0179	82	A.	1 20010315				WO 2000-EP8487								
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
		YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM				
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
PRIORITY APPLN. INFO.:								(GB 1	999-	2087	2	Α	1999	0904		
OTHER SOURCE(S):					MARPAT 134:237301												

$$\begin{array}{c|c}
R^2 & R^3 \\
N & N \\
R^4
\end{array}$$

Ι

Cl

ΙI

The title compds. [I; X = C, O, N; R1 = alkyl, cycloalkyl, (un) substituted AΒ aryl, etc.; R2 = H, halo, alkyl; R3, R4 = H, OH, (un)substituted heterocyclyl, etc.; R5 = H, halo, alkyl, etc.], useful in the treatment of HIV infections, were prepd. E.g., a 4-step synthesis of the ketone II which showed IC50 of between 101 nM and 1,000 nM against HIV-1 in MT4 cell assay, was described.

ΙT 329946-24-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of benzophenones and Ph heteroaryl ketones as inhibitors of reverse transcriptase)

329946-24-9 CAPLUS RN

Benzenesulfonamide, 4-[bis(phenylmethyl)amino]-N,N,3-trimethyl- (9CI) CN INDEX NAME)

REFERENCE COUNT:

REFERENCE(S):

(1) Aschwenden, W; US 4883815 A 1989 CAPLUS

(2) Brandl, E; SCI PHARM 1971, V39(4), P267 CAPLUS

(3) Capuano; JUSTUS LIEBIGS ANN CHEM 1968, V712, P73

CAPLUS

(4) Clyn-Bila, E; FR 1552793 A 1969 CAPLUS (5) Hashimoto, M; US 4207234 A 1980 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 11 OF 60 CAPLUS COPYRIGHT 2001 ACS 1998:744940 CAPLUS

ACCESSION NUMBER:

INVENTOR(S):

130:25338 DOCUMENT NUMBER:

TITLE:

Inhibitors of protein isoprenyl transferases

Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.;

Barr, Kenneth J.; Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.;

Sorensen, Bryan K.; Sullivan, Gerard M.;

Szczepankiewicz, Bruce G.; et al. University of Pittsburgh, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 848 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.F	ATENT	NO.		KIND DATE APPLICATION NO								DATE					
					- -												
WC	0 9850																
	W:	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	ÐΕ,
		DK,	ĒĒ,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,	UZ,
			YU,						-					·	•	•	ŕ
	RW:						•	•			•			CY,	DE,	DK,	ES,
														ВJ,			
											•	•	•	,	•	•	•
CM, GA, GN, ML, MR, NE, SN, TD, TG AU 9874733 A1 19981127 AU 1998-747											4733		1998	0507			
	P 9863																
۵.														NL,		MC	סידים
	11.	IE,		CII,	DL,	DI,	цо,	111,	UD,	OI,	 /	IJI,	шо,	ND,	01,	rac,	11,
PRIORIT	ממג עיד			_				,	10 1	007_	0520	50	7\	1997	1507		
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00000										990-	0592	96	W	1998	J50 /		
OTHER S																	
	ompds.																
R.	1, R2,	R4;	L1 :	is al	bsen	t or	is 1	L4NR	5L5,	L40	L5, :	L4S (D)mL	.5 (m	= 0	-2),	etc.,

where L4 and L5 are absent or alkylene, alkenylene, R5 is H, alkanoyl; Z is a covalent bond, O, S(0)q (q=0-2), NH or imino; R3 = H, aryl, fluorenyl, heterocyclyl, cycloalkyl, etc.] were prepd. as inhibitors of protein isoprenyl transferases. Thus, $N-[4-[(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbenzoyl]methionine Me ester hydrochloride, prepd. via amidation reaction, showed 92% inhibition of farnesyl transferase at <math>1\times10-6$ M.

IT 216232-65-4P 216233-15-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of inhibitors of protein isoprenyl transferases)

RN 216232-65-4 CAPLUS

CN L-Methionine, N-[[2'-methyl-5-[[[4-(methylthio)phenyl](phenylmethyl)amino] methyl][1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• Li

RN 216233-15-7 CAPLUS

CN L-Methionine, N-[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amin o]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• Li

IT 216229-16-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of inhibitors of protein isoprenyl transferases)

RN 216229-16-2 CAPLUS

L-Methionine, N-[[5-[[[4-[(benzoylamino)sulfonyl]phenyl](phenylmethyl)amin CN o]methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

REFERENCE(S):

2

(1) Boyle, F; PCT Int Appl 1997 (2) Sebti; PCT Int Appl 1996

CAPLUS COPYRIGHT 2001 ACS ANSWER 12 OF 60 1998:200691 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

128:265852

TITLE:

Effect of combined inhibitors of thymidylate synthase - 5-fluorodeoxyuridine and quinazoline antifolates on

murine leukemia cells cultured in vitro

AUTHOR(S):

SOURCE:

Balinska, Malgorzata; Szablewska, Irmina; Janiszewska, Dorota; Brzezinska, Agnieszka; Pawelczak, Krzysztof M. Nencki Institute of Experimental Biology, Polish

CORPORATE SOURCE:

Academy of Sciences, Warsaw, 02-093, Pol. Anticancer Res. (1997), 17(6D), 4519-4524

CODEN: ANTRD4; ISSN: 0250-7005

Anticancer Research

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

Journal English

The synergistic effect of two different inhibitors of thymidylate synthase AB (FdUrd (5-fluorodeoxyuridine) and sulfonamide derivs.) on murine leukemia cells (5178Y (parental subline) and 5178Y/F (its fluorodeoxyuridineresistant subline)) in culture was examd. Upon the exposure of cultures from both lines to a slightly inhibitory concn. of FdUrd (1 nM) in combination with 2-desamino-2-methyl-10-propargyl-5,8-dideazapteroylsulfoglutamine or -glycine, a synergistic effect of the antimetabolites on cell growth was obsd. This was accompanied by a marked redn. in intracellular concn. in both cell lines of 5,10CH2H4PteGlun; the intracellular concn. of 5,10CH2H4PteGlun in the resistant subline was 3 times higher than in the parental line. The inhibitory effect of combined drugs on the cellular pool in 5178Y cells of the two antimetabolites also depends on the sequence of their addn.; however in the FdUrd resistant cell-line, the dependence on the sequence of the addn. was not obsd. results obtained strongly suggest that under certain conditions, inhibition of thymidylate synthesis by antifolates is intensified by proprior use of FdUrd.

IT 159382-51-1 159382-52-2

> RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effect of combined inhibitors of thymidylate synthase fluorodeoxyuridine and quinazoline antifolates on murine leukemia cells

cultured in vitro in relation to resistance and mechanism)

159382-51-1 RN CAPLUS

CN L-Glutamic acid, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HC = C$$
 HO_2C
 S
 N
 S
 HO_2C
 O
 O

RN 159382-52-2 CAPLUS

Glycine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-CN propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$HC = C - CH_2$$

$$HO_2C - CH_2 - NH - CH_2$$

$$HO_3C - CH_2 - NH - CH_2$$

$$HO_3C - CH_2 - NH - CH_2$$

CAPLUS COPYRIGHT 2001 ACS L26 ANSWER 13 OF 60

ACCESSION NUMBER:

1997:590068 CAPLUS

DOCUMENT NUMBER:

127:242825

TITLE:

Studies on aromatase inhibitors IV. Synthesis and

biological evaluation of N, N-Disubstituted-5-

aminopyrimidine derivatives

AUTHOR(S):

Okada, Minoru; Yoden, Toru; Kawaminami, Eiji; Shimada,

Yoshiaki; Kudoh, Masafumi; Isomura, Yasuo

CORPORATE SOURCE:

Medicinal Chemistry Research II, Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co.,

Ltd., Tsukuba City, 305, Japan

SOURCE:

Chem. Pharm. Bull. (1997), 45(8), 1293-1299

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: DOCUMENT TYPE: Pharmaceutical Society of Japan

Journal English

LANGUAGE:

AB

In order to study the potency of the 5-aminopyrimidine skeleton as an aromatase inhibitor, we synthesized various N,N-disubstituted-5aminopyrimidine derivs. and evaluated their aromatase-inhibitory activity (in vitro) and their inhibitory activity on pregnant mare serum gonadotropin (PMSG)-induced estrogen synthesis (in vivo). Compds. with the fluoro-substituted benzyl group showed potent aromatase inhibition. Among them, 5-[(4-cyanophenyl)(3,5-difluorobenzyl)amino]pyrimidine (5w, YM553) was a highly potent compd. with an IC50 value of 0.038 nM for

aromatase from human placenta. Its inhibitory effect was approx. four times greater than that of YM511. In addn., YM553 was a weak inhibitor of other enzymes involved in steroid hormone synthesis. These results indicate that YM553, as well as YM511 (a 4-amino-4H-1,2,4-triazole deriv.), is a promising agent for the treatment of estrogen-dependent diseases.

IT 157911-86-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(N, N-disubstituted-5-aminopyrimidine derivs. as aromatase inhibitors)

RN 157911-86-9 CAPLUS

5-Pyrimidinamine, N-[(4-bromophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]-CN (CA INDEX NAME)

ANSWER 14 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:292155 CAPLUS

129:62537 DOCUMENT NUMBER:

The effects of combined antifolates on inhibition of TITLE:

growth of murine leukemia cells cultured in vitro Balinska, Malgorzata; Szablewska, Irmina; Janiszewska,

AUTHOR(S): Dorota; Bartuzi, Katarzyna; Pawelczak, Krzysztof

M. Nencki Institute of Experimental Biology, Polish

Academy of Sciences, Warsaw, 02-093, Pol.

Acta Biochim. Pol. (1997), 44(4), 743-750

CODEN: ABPLAF; ISSN: 0001-527X

Polish Biochemical Society PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

The synergistic effect of trimetrexate (TMTX) and sulfonamide derivs. of AB quinazoline on cultured 5178Y murine leukemia cells was examd. On exposure to slightly inhibitory concns. of TMTX (0.1 nM) in combination with 2-desamino-2-methyl-10-propargyl-5,8-dideaza-pteroyl-sulfoglycine (DMPDDSF) (0.02 .mu.M) a synergistic inhibitory effect of the antifolates on cell growth was obsd. These two drugs in the same combination also caused synergistic inhibition of de novo synthesis of thymidylate in intact cells as measured by tritium release from [5-3H]deoxyuridylate. This was accompanied by a marked redn. in intracellular concn. of 5,10-methylenetetrahydro-pteroyl-polyglutamate (5,10CH2H4PteGlun) (0.2 .mu.M) and dihydropteroyl-polyglutamate (0.12 .mu.M). In these conditions de novo biosynthesis of purine was decreased by 50%. These observations show that growth inhibition by combined antifolates is mediated by intracellular depletion of the substrate of thymidylate synthase -5,10CH2H4PteGlun. The results obtained strongly suggest that under certain conditions inhibition of thymidylate synthesis by DMPDDSF is intensified by prior application of TMTX - an inhibitor of dihydrofolate reductase.

159382-52-2 TΤ

CORPORATE SOURCE:

SOURCE:

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(effect of combined antifolates on inhibition of growth of murine leukemia cells in vitro)

RN 159382-52-2 CAPLUS

CN

SOURCE:

ΤТ

Glycine, N-[[4-[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} HC \Longrightarrow C-CH_2 \\ \hline N-CH_2 \\ \hline N \\ O \end{array}$$

* ANSWER 15 OF 60 CAPLUS COPYRIGHT 2001 ACS CESSION NUMBER: 1998:292154 CAPLUS

DOCUMENT NUMBER: 129:62536

TITLE: Synergistic effect of 5-fluorodeoxyuridine and

quinazoline antifolates on murine leukemia

self-cultured in vitro

AUTHOR(S): Balinska, Malgorzata; Szablewska, Irmina; Janiszewska,

Dorota; Brzezinska, Agnieszka; Pawelczak, Krzysztof

CORPORATE SOURCE: M. Nencki Institute of Experimental Biology, Polish

Academy of Sciences, Warsaw, 02-093, Pol. Acta Biochim. Pol. (1997), 44(4), 735-742

CODEN: ABPLAF; ISSN: 0001-527X

PUBLISHER: Polish Biochemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

The effect of thymidylate synthase inhibitor, fluorodeoxyuridine (FdUrd) AB was examd. in the culture of murine leukemia cells - 5178Y (parental subline) and its fluorodeoxyuridine resistant subline 5178Y/F. A synergistic effect of the antimetabolite on cell survival was obsd. on exposure of the culture of either line to a slightly inhibitory concn. of FdUrd (1 nM) in combination with 2-desamino-2-methyl-10-propargyl-5,8dideaza-pteroylsulfoglutamate or 2-desamino-2-methyl-10-propargyl-5,8dideaza-pteroylsulfoglycine. This effect was accompanied by a marked redn., in both cell lines of intracellular concn. of 5,10methylenetetrahydro-pteroyl-polyglutamate, although its concn. in the resistant subline was 3 times as high as in the parental line. The inhibitory effect of combined drugs on the cellular pool of folates in 5178Y line depended also on the sequence of drug addn., whereas in the FdUrd resistant line this sequence was without any effect. The results obtained strongly suggest that under certain conditions inhibition of thymidylate synthesis by antifolates is intensified by a prior use of FdUrd.

159382-51-1 159382-52-2

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(synergistic effect of 5-fluorodeoxyuridine and quinazoline antifolates on murine leukemia in vitro)

RN 159382-51-1 CAPLUS

CN L-Glutamic acid, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159382-52-2 CAPLUS

Glycine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-CN propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$HC \equiv C - CH_2$$
 $N - CH_2$
 $N - CH_2$

ANSWER 16 OF 60 CAPLUS COPYRIGHT 2001 ACS

1998:224576 CAPLUS ACCESSION NUMBER:

128:289880 DOCUMENT NUMBER:

Effect of combined antifolates-trimetrexate and TITLE:

> sulfonylderivatives of 10-propargyl-5,8-dideazafolic acid on folate metabolism-in murine cells cultured in

vitro

AUTHOR(S): Balinska, M.; Bartuzi, K.; Janiszewska, D.;

Szablewska, I.; Tarnawski, J.; Pawelczak, K.

CORPORATE SOURCE: M. Nencki Institute of Experimental Biology, Polish

Academy of Sciences, Warsaw, Pol.

SOURCE: Chem. Biol. Pteridines Folates 1997, Proc. Int. Symp.

Pteridines Folates (1997), 169-174. Editor(s): Pfleiderer, Wolfgang; Rokos, Hartmut. Blackwell

Wissenschafts-Verlag GmbH: Berlin, Germany.

CODEN: 65VBAF

DOCUMENT TYPE: Conference

LANGUAGE: English

When tested against murine leukemia 5178Y murine leukemia cells, AB trimetrexate and the sulfonamide deriv. of 10-propargyl-5,8-dideazafolic acid 2-desamino-2-methyl-10-propargyl-5,8-dideazapteroylsulfoglycine (DMPDDSF) showed synergistic inhibitory effects. The two drugs had synergism in inhibition of thymidylate synthase but did not have high inhibitory activity in inhibition of de novo purine synthesis. The inhibitory effect of the combined drugs on intracellular pools of the folate substrate (5,10-CH2H4PteGlun) and product (H2PteGlun) of thymidylate synthase depended on the sequence of their addn.; when cells were exposed first to trimetrexate followed by DMPDDSF, the inhibitory effects were greater.

IT 159382-52-2

> RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effect of combined antifolates trimetrexate and sulfonylderivatives of

10-propargyldideazafolic acid on folate metab. in murine leukemia cells in culture in relation to thymidylate synthase inhibition and purine synthesis)

RN 159382-52-2 CAPLUS

CN

Glycine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$HC = C - CH_2$$
 $N - CH_2$
 $N -$

L26 ANSWER 17 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:73234 CAPLUS

DOCUMENT NUMBER: 124:176005

TITLE: Structure-Based Design of Lipophilic Quinazoline

Inhibitors of Thymidylate Synthase

AUTHOR(S): Jones, Terence R.; Varney, Michael D.; Webber, Stephen

E.; Lewis, Kathleen K.; Marzoni, Gifford P.; Palmer, Cindy L.; Kathardekar, Vinit; Welsh, Katharine M.;

Webber, Stephanie; et al.

CORPORATE SOURCE: Agouron Pharmaceuticals Inc., San Diego, CA, 92121,

USA

SOURCE: J. Med. Chem. (1996), 39(4), 904-17

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

To develop novel lipophilic thymidylate synthase (TS) inhibitors, the AB X-ray structure of Escherichia coli TS in ternary complex with FdUMP and the inhibitor 10-propargyl-5,8-dideazafolic acid (CB3717) was used as a basis for structure-based design. A total of 31 novel lipophilic TS inhibitors, lacking a glutamate residue, were synthesized; 26 of them had in common a N-((3,4-dihydro-2-methyl-6-quinazolinyl)methyl)-N-prop-2ynylaniline structure in which the aniline was appropriately substituted with simple lipophilic substituents either in position 3 or 4, or in both. Compds. were tested for their inhibition of E. coli TS and human TS and also for their inhibition of the growth in tissue culture of a murine leukemia, a human leukemia, and a thymidine kinase-deficient human adenocarcinoma. The crystal structures of five inhibitors complexed with E. coli TS were detd. Five main conclusions are drawn from this study. (I) a 3-substituent such as CF3, iodo, or ethynyl enhances binding by up to 1 order of magnitude and in the case of CF3 was proven to fill a nearby pocket in the enzyme. (II) A simple strongly electron-withdrawing substituent such as NO2 or CF3SO2 in the 4-position enhances binding by 2orders of magnitude; it is hypothesized that the transannular dipole so induced interacts favorably with the protein. (III) Attempts to combine the enhancements of I and II in the same mol. were generally unsuccessful. (IV) A 4-C6H5SO2 substituent provided both electron withdrawal and a van der Waal's interaction of the Ph group with a hydrophobic surface at the mouth of the active site. The inhibition (Kis = 12 nM) of human TS by this compd., 7n, showed that C6H5SO2 provided virtually as much binding affinity as the CO-glutamate which it had replaced. (V) The series of compds. were poorly water sol., and also the potent TS inhibition shown by several of them did not translate into good cytotoxicity. Compds. with large cyclic groups linked to position 4 by an SO or SO2 group did,

however, have IC50's in the range 1-5 .mu.M. Of these, 4-(N-((3,4-dihydro-2-methyl-6-quinazolinyl)methyl)-N-prop-2ynylamino)phenyl Ph sulfone, 7n, had IC50's of about 1 .mu.M and was chosen for further elaboration.

IT 130205-96-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 130205-96-8 CAPLUS

4(1H)-Quinazolinone, 2-methyl-6-[[2-propynyl[4-CN [(trifluoromethyl)sulfonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$HC = C - CH_2$$
 $N - CH_2$
 $N - CH_2$

ANSWER 18 OF 60 CAPLUS COPYRIGHT 2001 ACS 1995:902630 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

123:313770

TITLE:

Preparation of piperidino and piperazino 5-HT2

receptor antagonists and blood platelet aggregation

inhibitors

INVENTOR(S):

Aoki, Tsuyoshi; Takahashi, Atsuo; Sato, Hiroyasu; Shimanuki, Eiji; Gengyou, Kaoru; Nishimata, Toyoki; Ishigami, Sachiko; Yamada, Shin-ichi; Yamaguchi,

Takahiro; et al.

PATENT ASSIGNEE(S):

Toa Eiyo Ltd., Japan Eur. Pat. Appl., 123 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 661266	Al	19950705	EP 1994-120698	19941227
R: BE, CH,	DE, ES	, FR, GB, IT	, LI, LU, NL	
JP 07242629	A2	19950919	JP 1994-336707	19941226
PRIORITY APPLN. INFO	.:		JP 1993-346805	19931227
OTHER SOURCE(S):	MA	RPAT 123:313	770	
CT				

The title compds. [I; A = CH2, CO, sulfonyl; B, T = direct bond, CH2, CO, AΒ

CH(OH), C(:NH); D = CH, N, N.fwdarw.O; P = N, N.fwdarw.O; Q = CH, N; R1, R2 = H, OH, (un)branched alkyl, alkenyl, (un)substituted aralkyl, acyl, (un)substituted NH2, etc.; R3 = H, OH, (un)branched alkyl or alkoxy; R4, R5 = H, OH, halogen, (un)branched alkyl, alkenyl, alkoxy, alkylthio, (un)substituted NH2, SH, etc.; n = 1-6], useful as 5-HT2 receptor antagonists and blood platelet aggregation inhibitors, are prepd. Thus, 4-acetylamino-N-[2-[4-(4-fluorobenzoyl)piperidino]ethyl]-N-(3-methoxyphenyl)benzamide fumarate, m.p. 215-222.degree. (decompn.), prepd. by the reaction of the free base with fumaric acid, demonstrated a IC50 for platelet aggregation in rabbit-derived, platelet-rich plasma of .ltoreq.9.9 x 10-8 M, vs. 1.0-9.9 x 10-7 M for ketanserin.

IT 169948-95-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidino and piperazino 5-HT2 receptor antagonists and blood platelet aggregation inhibitors)

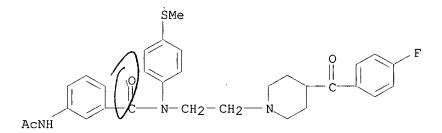
RN 169948-95-2 CAPLUS

Benzamide, 3-(acetylamino)-N-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-N-[4-(methylthio)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 169948-94-1 CMF C30 H32 F N3 O3 S



CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

HO₂C E CO₂H

ACCESSION NUMBER: 1995:627690 CAPLUS

DOCUMENT NUMBER:

TITLE:

AUTHOR(S):

123:78087
Thymidylate synthases from Hymenolepis diminuta and

regenerating rat liver: purification, properties, and inhibition by substrate and cofactor analogs Ciesla, Joanna; Golos, Barbara; Dzik, Jolanta M.; Pawelczak, Krzysztof; Kempny, Michal; Makowski, Maciej; Bretner, Maria; Kulikowski, Tadeusz;

Machnicka, Barbara; et al.

CORPORATE SOURCE:

Nencki Institute of Experimental Biology, 3 Pasteur

St., Warsaw, 02-093, Pol.

SOURCE:

Biochim. Biophys. Acta (1995), 1249(2), 127-36

CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE:

Journal

English LANGUAGE:

Comparative studies of thymidylate synthases, isolated from the tapeworm, AΒ Hymenolepis diminuta, and regenerating liver of its host, rat, aimed at a possibility of specific inhibition of the helminthic enzyme, are presented. While similar in structure (dimers with monomer mol. masses of 33.7 kDa and 34.9 kDa, resp.) and parameters describing interactions with substrates and products, the tapeworm and rat enzymes differed in the dependences of reaction velocity on temp. (Arrhenius plots biphasic and linear, resp.). The tapeworm, compared with the host, enzyme was less sensitive to the competitive slow-binding inhibition by 5-fluoro-dUMP and its 2-thio congener, but equally sensitive to inhibition by 4-thio-5-fluoro-dUMP, N4-hydroxy-dCMP and N4-hydroxy-5-fluoro-dCMP, the latter being more potent inhibitor of the parasite enzyme than 5-fluoro-dUMP. .alpha.-Anomer of 5-fluoro-dUMP behaved as a very weak competitive slow-binding inhibitor of both enzymes. Both enzymes differed markedly in sensitivity to inhibition by 10-propargyl-5,8-dideazafolate and its di- and triglutamates (pddPteGlu1-3), with pddPteGlu1 being stronger inhibitor of the mammalian enzyme, but pddPteGlu3 showing opposite specificity. Sulfonamidobenzoylglutamate analog of pddPteGlu (pddPteSO2Glu) and 2-desamino-2-Me deriv. of this analog (CH3pddPteSO2Glu) were weaker inhibitors of both enzymes than the parent compd. Substitution of the glutamyl residue in CH3pddPteSO2Glu with either norvaline or alanine increased inhibition potency, whereas similar substitutions with glycine, valine or phenylglycine were without a distinct effect with the host enzyme but weakened inhibition of the tapeworm enzyme.

159382-50-0 159382-51-1 159382-52-2 ΙT 159382-53-3 159382-54-4 159382-55-5 159382-56-6

> RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (purifn., properties, and inhibition by substrate and cofactor analogs of thymidylate synthases from Hymenolepis diminuta and regenerating rat liver)

RN 159382-50-0 CAPLUS

CN L-Glutamic acid, N-[[4-[[(2-amino-1,4-dihydro-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HC \equiv C$$
 HO_2C
 S
 HO_2C
 O
 O
 O

RN 159382-51-1 CAPLUS

CN L-Glutamic acid, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159382-52-2 CAPLUS

CN Glycine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$HC = C - CH_2$$
 $N - CH_2$
 $N -$

RN 159382-53-3 CAPLUS

L-Valine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-CN propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159382-54-4 CAPLUS

L-Alanine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-CN propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159382-55-5 CAPLUS RN

CN Benzeneacetic acid, .alpha.-[[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-(CA quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]amino]- (9CI) INDEX NAME)

$$HC = C - CH_2$$
 $HC = C - CH_2$
 $HO_2C - CH - NH - S$
 $HO_2C - CH - NH$
 HO_2

159382-56-6 CAPLUS RN

L-Norvaline, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-CN propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 20 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:605372 CAPLUS

DOCUMENT NUMBER: 121:205372

TITLE: Preparation of aminopyrimidines as aromatase

inhibitors

INVENTOR(S): Okada, Minoru; Yoden, Toru; Kawaminami, Eiji; Shimada,

Yoshiaki; Kudo, Masafumi; Isomura, Yasuo

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 84 pp.

CODEN: PIXXD2 DOCUMENT TYPE:

Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA	TENT 1	NO.		KI	ND	DATE APPLICATION NO					Э.	DATE					
													- -				
WO	9322	290		A	1	1993	19931111 WO 1				1993-JP548				19930427		
	W:	AU,	BB,	BG,	BR,	CA,	CZ,	FI,	HU,	JP,	KR,	ΚZ,	LK,	MG,	MN,	MW,	NO,
		NZ,	PL,	PT,	RO,	RU,	SD,	SK,	UA,	US,	VN						
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG		
AU	AU 9340230 A1				1	19931129 AU 1993-40230											
EP	EP 640595 A1				1	1995	0301		E	P 19	93-9	0942	8	1993	0427		
EP	EP 640595 B1 1			19990324													
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LI,	LU,	NL,	PT,	SE
AT	1780	56		E		1999	0415		Α	T 19	93-9	0942	8	1993	0427		
ES	2130	258		T	3	1999	0701		E	S 19	93-9	0942	8	1993	0427		
CN	1079	962		Α		1993	1229		C	N 19	93-1	0533	0	1993	0428		
CN	1039	228		В		1998	0722										
US	5538	976		Α		1996	0723		U	S 19	94-3	2538	3	1994	1026		
PRIORIT	Y APP	LN.	INFO	. :					JP 1	992-	1377	62		1992	0428		
									JP 1	992-	2342	98		1992	0810		
									WO 1	993-	JP54	8		1993	0427		

OTHER SOURCE(S): MARPAT 121:205372

GI For diagram(s), see printed CA Issue.

AB The title compds. I [A = single bond, alkylene, etc.; ring B = pyrimidine, pyridazine, triazine ring; rings D and E = (substituted) aryl, etc.; a proviso is given] were prepd. I have aromatase inhibiting activity and are useful as therapeutic agents for breast cancer, endometriosis, prostatic hypertrophy, etc. Treatment of aminopyrimidine II with NaH in DMF, followed by reaction with 4-trifluoromethylbenzyl bromide, gave, after workup, title compd. III. One compd. I in vitro exhibited IC50 of 0.036 nM against aromatase. Formulations contg. I are given.

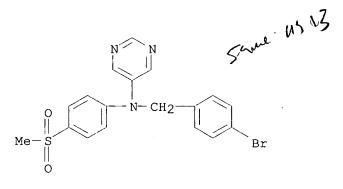
IT 157911-86-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as aromatase inhibitor)

RN 157911-86-9 CAPLUS

CN 5-Pyrimidinamine, N-[(4-bromophenyl)methyl]-N-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)



L26 ANSWER 21 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:626

1993:626304 CAPLUS 119:226304

DOCUMENT NUMBER: TITLE:

The invention of radical reactions. 30. Diazirines as

carbon radical traps. Mechanistic aspects and synthetic applications of a novel and efficient

amination process

AUTHOR(S): Barton, Derek H. R.; Jaszberenyi, Joseph C.;

Theodorakis, Emmanouil A.; Reibenspies, J. H. CORPORATE SOURCE:

Dep. Chem., Texas A and M Univ., College Station, TX,

77843, USA

J. Am. Chem. Soc. (1993), 115(18), 8050-9 SOURCE:

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

CASREACT 119:226304 OTHER SOURCE(S):

GI

A no. of diazirines were synthesized for the purpose of exploring the AB addn. of a carbon radical to the nitrogen-nitrogen double bond. Carbon radicals, generated from the photolysis of the O-acyl derivs. of N-hydroxy-2-thiopyridone or via radical exchange from the corresponding organotellurides, were shown to add smoothly to the diazirines leading to imines, RN:CR2R3 (R = PhCH2CH2, cyclohexyl, 1-adamantanyl, R2 = Ph, 4-02NC6H4, R3 = Br; R2 = Ph, 4-MeSO3C6H4, R3 = CF3; R2,R3 = H, cyclohexenyl). When 3-(trifluoromethyl)-3-phenyldiazirine (I) is used as the trap, the thus formed imines can be easily hydrolyzed to amines. Thus, telluro carbohydrate II (R4 = TeC6H4OMe-4) was treated with I in the presence of N-acetoxypyridine-2-thione to give imine II [R4 = N:C(CF3)Ph] which was hydrolyzed to the amine II (R4 = NH2). A mechanism that involves dimerization of the diaziridinyl radicals III to produce tetraazo intermediates IV is suggested in accord with variable temp. NMR data for the reaction. Proof for this mechanistic scheme was furthermore obtained by isolation and x-ray structure detn. of IV (R = CH2OMe, R2 = CF3, R3 =Ph). The first x-ray structure of a 3-(trifluoromethyl)-3-aryldiazirine (aryl = 4-MeSO2C6H4) is also reported.

150772-86-4P ΙT

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 150772-86-4 CAPLUS

CN Benzeneethanamine, N-[4-(methylsulfonyl)phenyl]-N-(trifluoromethyl)- (9CI) (CA INDEX NAME)

126 ANSWER 22 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1995:47329 CAPLUS

DOCUMENT NUMBER: 122:290

TITLE: Sulfonamide antifolates inhibiting thymidylate

synthase: synthesis, enzyme inhibition and

cytotoxicity

AUTHOR(S): Pawelczak, K.; Makowski, M.; Kempny, M.; Dzik, J. M.;

Balinska, M.; Rode, W.

CORPORATE SOURCE: Inst. Chem., Pedagog. Univ. Opole, Opole, 45-052, Pol.

SOURCE: Adv. Exp. Med. Biol. (1993), 338 (Chemistry and Biology

of Pteridines and Folates), 625-8

CODEN: AEMBAP; ISSN: 0065-2598

DOCUMENT TYPE: Journal LANGUAGE: English

AB Inhibitory activity of 10-propargyl-5,8-dideazafolic acid,

2-deamino-2-methyl-10-propargyl-5,8-dideazafolic acid and 7 sulfonamide analogs on Ehrlich carcinoma thymidylate synthase and L5178Y cell growth

is tabulated. Structure-activity relations are briefly discussed.

IT 159382-50-0 159382-51-1 159382-52-2

159382-53-3 159382-54-4 159382-55-5

159382-56-6

RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor activity and thymidylate synthase inhibition by)

RN 159382-50-0 CAPLUS

CN L-Glutamic acid, N-[[4-[[(2-amino-1,4-dihydro-4-oxo-6-quinazolinyl)methyl]-

2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159382-51-1 CAPLUS

CN L-Glutamic acid, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-

quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

RN 159382-52-2 CAPLUS

CN Glycine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$HC = C - CH_2$$
 $HC = C - CH_2$
 $HC = C - CH_$

RN 159382-53-3 CAPLUS

CN L-Valine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HC = C$$

$$HO_{2}C$$

$$HO_{2}C$$

$$HO_{3}$$

$$HO_{4}$$

$$HO_{5}$$

$$HO_{5}$$

$$HO_{6}$$

$$HO_{7}$$

$$HO_{8}$$

RN 159382-54-4 CAPLUS

CN L-Alanine, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159382-55-5 CAPLUS

CN Benzeneacetic acid, .alpha.-[[[4-[[(1,4-dihydro-2-methyl-4-oxo-6quinazolinyl)methyl]-2-propynylamino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 159382-56-6 CAPLUS

L-Norvaline, N-[[4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-methyl-4-oxo-6-quinazolinylCN propynylamino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

ANSWER 23 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:193617 CAPLUS

DOCUMENT NUMBER: 118:193617

TITLE:

A novel method for the preparation of

3-amino-4-hydroxybenzenesulfonamide precursors of Acid

Alizarin Violet N derivatives

Katritzky, Alan R.; Wu, Jing; Rachwal, Stanislaw; AUTHOR(S):

Macomber, David; Smith, Terrance P.

CORPORATE SOURCE: Cent. Heterocycl. Compd., Univ. Florida, Gainesville,

FL, 32611-2046, USA

SOURCE: Synth. Commun. (1993), 23(3), 405-17

CODEN: SYNCAV; ISSN: 0039-7911

DOCUMENT TYPE: Journal LANGUAGE: English

AB Chlorosulfonation of 2-nitroanisole gave 4-methoxy-3-nitrobenzenesulfonyl chloride) which was converted with N-butyl-N-(3-phenylpropyl)amine into the benzenesulfonamide (I). Hydrolysis of the ether and redn. of the nitro group of I followed by diazotization and coupling with 2-naphthol gave N-butyl-N-(3-phenylpropyl)-4-hydroxy-3-(2-hydroxy-1-naphthyl)azobenzenesulfonamide.

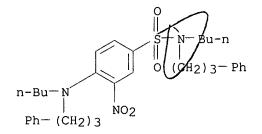
IT 147237-65-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 147237-65-8 CAPLUS

CN Benzenesulfonamide, N-butyl-4-[butyl(3-phenylpropyl)amino]-3-nitro-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



L26 ANSWER 24 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:591383 CAPLUS

DOCUMENT NUMBER: 113:191383

TITLE: Preparation of quinazolines as antiproliferatives

INVENTOR(S): Jones, Terence R.; Varney, Michael D.; Webber, Stephen

E.; Appelt, Krysztof; Marzoni, Gifford

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, USA

SOURCE: Eur. Pat. Appl., 46 pp.

SOUNCE. BUI. Fac. Appl., 40]

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
EP 365763	A1	19900502		EP 1989-113994	19890728
R: AT, BE,	CH, DE,	ES, FR,	GB, GI	R, IT, LI, LU, NL,	, SE
AU 8941153	A1	19900405		AU 1989-41153	19890907
AU 638679	B2	19930708			
ZA 8906908	Α	19900926		ZA 1989-6908	19890911
FI 8904473	Α	19900331		FI 1989-4473	19890921
NO 8903808	A	19900402		NO 1989-3808	19890925
JP 02174749	A2	19900706		JP 1989-251708	19890927
DK 8904813	Α	19900331		DK 1989-4813	19890929
PRIORITY APPLN. INFO	. :		US	1988-251765	19880930
			01202		

OTHER SOURCE(S): MARPAT 113:191383
GI For diagram(s), see printed CA Issue.

AB Title compds. I (R1 = Me, Ph, MeO; R3 = H, Me, Et, HC.tplbond.C, F3C, HOCH2, .alpha.-hydroxyethyl, Cl, Br, iodo; R4 = H, F, Cl, cyano, O2N, Bz, PhSO2, F3CSO2, H2NSO2, etc.) are prepd. I exhibit thymidylate synthase inhibition and antitumor activity. I are also antibacterial, antifungal, antiparasitic, antiviral, antipsoriatic, antiprotozoal, and anticoccidial (no data). To NaH and 4-(F3CSO2)C6H4NHCH2C.tplbond.CH in DMF was added

6-(bromomethyl)-3,4-dihydro-2-methyl-4-oxo-3-(pivaloyloxy)methylquinazolin

e, followed by workup and hydrolysis with LiOH in aq. MeOH, to give I (R1 = R3 = H; R4 = F3CSO2) (II). The thymidylate synthase inhibition const. Ki of II in Escherichia coli and humans was 0.015 and 0.075 .mu.M, resp.

IT 130205-96-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as antiproliferative agent)

RN 130205-96-8 CAPLUS

CN 4(1H)-Quinazolinone, 2-methyl-6-[[2-propynyl[4-

[(trifluoromethy1)sulfony1]pheny1]amino]methy1]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HC} = \text{C} - \text{CH}_2 \\ \hline \text{O} & \text{N} - \text{CH}_2 \\ \hline \\ \text{O} & \text{O} \\ \end{array}$$

LZA ANSWER 25 OF 60 CAPLUS COPYRIGHT 2001 ACS

ECCESSION NUMBER: 1990:515813 CAPLUS

DOCUMENT NUMBER: 113:115813

TITLE: Potent inhibition of thymidylate synthase by two

series of nonclassical quinazolines

AUTHOR(S): McNamara, Dennis J.; Berman, Ellen M.; Fry, David W.;

Werbel, Leslie M.

CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann

Arbor, MI, 48105, USA

SOURCE: J. Med. Chem. (1990), 33(7), 2045-51

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:115813

GΙ

$$\begin{array}{c|c} CH_2C \equiv CH \\ R1 \\ R2 \\ R3 \\ R \end{array}$$

$$\begin{array}{c} \text{CH}_2\text{C} \equiv \text{CH} \\ \text{O} \\ \text{HN} \\ \text{H}_2\text{N} \\ \end{array}$$

The synthesis and biol. activity of two series of nonclassical thymidylate synthase (TS) inhibitors are described. The first is a series of 10-propargyl-5,8-dideazafolic acid derivs. I (R = NH2; R1 = R2 = C1, R3 = H; R1-R3 = OMe; R1 = R3 = H, R2 = Ac, F, cyano, CONH2, SO2NMe2, NO2, COCF3, OCF3) and the second is a series of 2-deamino derivs. I (R = H; R1

= R2 = C1, R3 = H, R1-R3 = OMe; R1 = R3 = H, R4 = Ac), both bearing a more lipophilic substituent on the Ph ring than the CO-glutamate of classical antifolates. The compds. were tested for inhibition of purified L1210 TS and for inhibition of L1210 cell growth in vitro. Several of these nonclassical analogs approached the TS inhibitory potency of 10-propargyl-5,8-dideazafolic acid (II), a glutamate-contg. TS inhibitor. I (R = NH2) were generally potent inhibitors of L1210 TS, with ED50s within the range of 0.51-11.5 .mu.M for II. I (R = H) also exhibited significant, although diminished, TS inhibition. Both series were growth inhibitory to cells in tissue culture and this inhibition could be reversed by thymidine alone, indicating that the primary target was TS. None of the compds. was a potent inhibitor of dihydrofolate reductase. These studies indicate that the presence of the glutamate moiety in folate analogs is not an abs. requirement for potent inhibition of TS.

IT 123685-53-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and deacylation of)

RN 123685-53-0 CAPLUS

CN Propanamide, N-[6-[[[4-[(dimethylamino)sulfonyl]phenyl]-2-propynylamino]methyl]-1,4-dihydro-4-oxo-2-quinazolinyl]-2,2-dimethyl-(9CI) (CA INDEX NAME)

IT 123685-37-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and thymidylate synthase-inhibiting activity of)

RN 123685-37-0 CAPLUS

CN Benzenesulfonamide, 4-[[(2-amino-1,4-dihydro-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & \text{HC} \blacksquare \text{C-CH}_2 \\ & & \\ & \text{N-CH}_2 \\ & & \\ &$$

L26 ANSWER 26 OF 60 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 1990:169220 CAPLUS

DOCUMENT NUMBER: 112:169220

TITLE: Organic thin film device

INVENTOR(S): Sato, Itsuko; Naito, Katsuyuki; Genma, Nobuhiro;

Azuma, Makoto

PATENT ASSIGNEE(S): Toshiba Corp., Japan

SOURCE: Brit. UK Pat. Appl., 41 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
GB 2217910	A1	19891101	GB 1989-7064	19890329		
GB 2217910	B2	19920527				
JP 02001168	A2	19900105	JP 1988-253742	19881011		
JP 3153537	В2	20010409				
US 4987023	A	19910122	US 1989-330205	19890329		
PRIORITY APPLN. INFO.	:		JP 1988-73305 A	19880329		
			JP 1988-253742 A	19881011		

AB An org. thin-film device, which employs a Langmuir-Blodgett film, has a small threshold value of the external potential, and may be used as a multicolor display, a rectifier, a switching device, or a light memory device, comprises 1st and 2nd org. thin films contg. acceptor and donor mols., resp., stacked one upon another, in which .gtoreq.1 of the 1st and the 2nd org. thin films contains a chem. species having a dipole moment .vector.P2 and the dipole moment .vector.P2 and the dipole moment .vector.P1, produced by charge transfer between the acceptor and the donor mols., that satifies the formula (.vector.P1..vector.P2)|.vector.r|2 - 3 (.vector.P1..vector.r)(.vector.P2..vector.r)<0 where .vector.r represents a positional relation between .vector.Pl and .vector.P2. The direction of the dipole moment .vector.P2 is opposite to that of the dipole moment .vector.P2 and the chem. species having the dipole moment .vector.P2 comprises a functional group bonded to one of the acceptor and the donor mols. The 1st and the 2nd org. thin films are alternately stacked one upon another to produce a laminate film of a superlattice structure.

IT 126229-92-3

RL: USES (Uses)

(electrooptical display device contg. thin films of)

RN 126229-92-3 CAPLUS

CN Propanedinitrile, 2,2'-[2-[[methyl[4-[(2-octadecyleicosyl)sulfonyl]phenyl] amino]methyl]-2,5-cyclohexadiene-1,4-diylidene]bis- (9CI) (CA INDEX NAME)

26 ANSWER 27 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1989:614500 CAPLUS

DOCUMENT NUMBER: 111:214500

TITLE: Preparation of 6-[[(hetero)arylamino]methyl]quinazolin

ones as thymidylate synthase inhibitors

INVENTOR(S): Berman, Ellen Myra; Werbel, Leslie Morton; McNamara,

Dennis Joseph

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: Eur. Pat. Appl., 37 pp.

Liu 09/844061 Page 67

CODEN: EPXXDW

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT	NO.		KII	ND	DATE			Al	PPLICA:	NOI	NO.	DATE
EP	3166			A.	1	1989	0524		El	1988-	-1182	242	19881102
US	4857	ES, 530		Α		1989	0815		US	5 1987-	-1169	929 -	19871103
WO	8904	307		A.	1	1989	0518		W(1988-	-US39	902	19881102
	W:	ΑT,	DE,	GB,	JP,	, LU,	NL,	SE,	US				
	RW:	ΑT,	BE,	CH,	DE,	FR,	GB,	IT,	LU,	NL, SH	Ξ		
EP	4266	66		A.	1	1991	0515		El	9 1988-	-9102	271	19881102
	R:	AT,	BE,	CH,	DE,	FR,	GB,	IT,	LI,	LU, NI	SE, SE	Ξ	
JP	0350	2573		T	2	1991	0613	•	J!	9 1988-	-5094	106	19881102
PRIORITY	APP	LN.	INFO	. :					US 19	987-116	5929		19871103
								1	WO 19	988 - US	3902		19881102
OTHER SO	URCE	(S):			CAS	SREAC'	Т 11:	1:21	4500	: MARPA	AT 11	11:21	4500

$$RN$$
 $R1$
 N
 CH_{2Q}
 I

The title compds. [I; Q = NR2Ar; R = H, C1-6 alkyl, CH2O2CR3; R3 = C1-6AB alkyl; R1 = H, C1-6 alkyl, NR4R5, NHCOR3; R4,R5 = H, C1-6 alkyl; R2 = C1-6 alkyl, C2-6 alkenyl or alkynyl, NR4R5-substituted alkynyl; X = H, C1-6 alkyl, halo; Ar = C2-6 acylpyridin-2-yl, (un)substituted Ph] (II) were prepd. as anticancer agents. A suspension of 75% pure 2,2-dimethylpropanoic acid [6-(bromomethyl)-4-oxo-3(4H)quinazolinyl]methyl ester, 1-[4-(2-propynylamino)phenyl]ethanone, and dry CaCO3 in AcNMe2 is stirred 18 h at 80.degree. to give a product which was treated 18 h at room temp. with satd. methanolic NH3 to give 6-[[4-acetylphenyl]-2-propynylamino]methyl]-4-(3H)-quinazolinone. Thirteen II in vitro inhibited L1210 murine leukemia cell line with IC50's of 2.4 to 26.1 .mu.M.

123685-37-0P 123685-53-0P IT

> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as antitumor agent)

RN 123685-37-0 CAPLUS

CN Benzenesulfonamide, 4-[[(2-amino-1,4-dihydro-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N, N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & HC \Longrightarrow C-CH_2 \\ & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

123685-53-0 CAPLUS RN

Propanamide, N-[6-[[[4-[(dimethylamino)sulfonyl]phenyl]-2-CN propynylamino]methyl]-1,4-dihydro-4-oxo-2-quinazolinyl]-2,2-dimethyl-(9CI) (CA INDEX NAME)

$$HC = C - CH_2$$

$$NH - C - Bu - t$$

$$Me_2N - S$$

$$0$$

ANSWER 28 OF 60 CAPLUS COPYRIGHT 2001 ACS

1991:6421 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 114:6421

Pyrimidinyl sulfonamides derived from 1,2,4-triazole TITLE:

Skwarski, Dionizy; Sobolewski, Henryk; Bererzanska, AUTHOR(S):

Dep. Chem. Technol. Pharm. Prod., Sch. Med., Poznan, CORPORATE SOURCE:

60780, Pol.

Journal

SOURCE: Acta Pol. Pharm. (1989), 46(3), 232-6

CODEN: APPHAX; ISSN: 0001-6837

DOCUMENT TYPE:

Polish LANGUAGE:

GI

SO₂NH-Z

I, Z=

$$\begin{array}{c} R \\ N \\ N \end{array}$$
 $\begin{array}{c} CH_2 - N \\ N \\ N \end{array}$
 $\begin{array}{c} CH_2 - N \\ N \\ N \end{array}$
 $\begin{array}{c} CH_2 - N \\ N \\ N \end{array}$
 $\begin{array}{c} CH_2 - N \\ N \\ N \end{array}$
 $\begin{array}{c} R \\ N \\ N \end{array}$

The title Mannich bases I (R = R1 = H, Me; R = H, R1 = Me, OMe) and II (RAΒ

= Me, OMe) were prepd. (51-9% yield) as potential antiviral agents in the reaction of appropriately substituted 4-H2NC6H4SO2NHZ with 3-amino-1,2,4-triazole and CH2O.

IT 130842-89-6P 130842-90-9P 130842-91-0P 130842-92-1P 130842-93-2P 130842-94-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as antiviral agent)

RN 130842-89-6 CAPLUS

CN

Benzenesulfonamide, 4-[[(3-amino-1H-1,2,4-triazol-1-yl)methyl][[(hydroxymethyl)[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]methyl]amino]-N-2-pyrimidinyl-(9CI) (CA INDEX NAME)

RN 130842-90-9 CAPLUS

CN Benzenesulfonamide, 4-[[[(3-amino-1H-1,2,4-triazol-1-yl)methyl][4-[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]methyl](hydroxymethyl)amino]-N-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 130842-91-0 CAPLUS

CN Benzenesulfonamide, 4-[[(3-amino-1H-1,2,4-triazol-1-yl)methyl][[(hydroxymethyl)[4-[[(4-methyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]methyl]amino]-N-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 130842-92-1 CAPLUS

CN Benzenesulfonamide, 4-[[(3-amino-1H-1,2,4-triazol-1-yl)methyl][[(hydroxymethyl)[4-[[(4-methoxy-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]methyl]amino]-N-(4-methoxy-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

$$N = 0$$
 $N = 0$
 N

RN 130842-93-2 CAPLUS

CN Benzenesulfonamide, 4-[[(3-amino-1H-1,2,4-triazol-1-yl)methyl][[[4-[[(2,6-dimethyl-4-pyrimidinyl)amino]sulfonyl]phenyl](hydroxymethyl)amino]methyl]a mino]-N-(2,6-dimethyl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

Liu

PAGE 2-A

RN 130842-94-3 CAPLUS

CN

Benzenesulfonamide, 4-[[(3-amino-1H-1,2,4-triazol-1-yl)methyl][[[4-[[(2,6-dimethoxy-4-pyrimidinyl)amino]sulfonyl]phenyl](hydroxymethyl)amino]methyl]amino]-N-(2,6-dimethoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

ANSWER 29 OF 60 CAPLUS COPYRIGHT 2001 ACS 1988:630567 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 109:230567

TITLE: Preparation of N-benzylhaloacetamide derivatives as

herbicides

INVENTOR(S): Kato, Shozo; Takematsu, Tetsuo; Okamoto, Hidenori;

Oqasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63115851 JP 06023145	A2 B4	19880520 19940330	JP 1986-258479	19861031

OTHER SOURCE(S):

MARPAT 109:230567

Liu

GI

AΒ The title amides [I; R1 = (substituted) aryl; R2, R3 = H, alkyl; R4 = (substituted) alkyl, aryl, alkenyl, alkynyl; A = O, S, Y = Cl, Br, iodo] are prepd. A soln. of C1CH2COC1 in DMF was slowly added to enamine II in DMF at room temp. with stirring and heated at 50.degree. to give 68% amide I (R1A = PhO at 4-position, R2 = R3 = Me, R4 = MeOCH2CH2, Y = C1), which showed complete kill of barnyard grass at 200 g/10 are.

IT 117542-65-1P

> RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 117542-65-1 CAPLUS

Acetamide, 2-chloro-N-[1-[4-(4-ethoxyphenoxy)phenyl]-1-butenyl]-N-[4-CN (ethylthio)phenyl] - (9CI) (CA INDEX NAME)

ANSWER 30 OF 60 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 1988:601316 CAPLUS

DOCUMENT NUMBER:

109:201316

TITLE:

Silver halide photographic material with lightfast

magenta image

INVENTOR(S):

Sugita, Shuichi; Yoshimoto, Shinji; Shimada, Naoko;

Kaneko, Yutaka; Nakagawa, Satoshi

PATENT ASSIGNEE(S):

Konica Co., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63095449	A2	19880426	JP 1986-241746	19861011

GI For diagram(s), see printed CA Issue.

The title material contains magenta coupler I and stabilizer II (Z =AB N-contg. heterocyclic ring; X = H, leaving group; R = H, substituent; R1 = Halkyl, cycloalkyl, alkenyl, aryl, heterocyclyl, acyl, hydrocarbyl, alkylsulfonyl, arylsulfonyl; R2 = substituent; m = 0-4; R3-4 = H, or defined as for R1 but not both H; R2 groups may form ring(s) with each other or with SR1). The material provides magenta images stable to light, and background without yellow stain. Thus, Ag(Cl,Br) emulsion mixed with magenta coupler III, dioctylhydroquinone, and stabilizer IV was coated on

polyethylene-coated paper to obtain a layer contg. 3.8 mg Ag, 6.0 mg III, and IV equimol. to III per 100 cm2.

ΙT 117451-31-7

RL: USES (Uses)

(photog. stabilizer, for magenta images)

117451-31-7 CAPLUS RN

CN Benzenemethanamine, N-methyl-N-[4-[(phenylmethyl)thio]phenyl]- (9CI) INDEX NAME)

ANSWER 31 OF 60 CAPLUS COPYRIGHT 2001 ACS

1987:593026 CAPLUS CCESSION NUMBER:

DOCUMENT NUMBER: 107:193026

TITLE: Emulsions containing herbicidal N-substituted

chloroacetamides and sucrose esters

INVENTOR(S): Kato, Shozo; Kondo, Naohiko; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 9 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		-		
JP 62093205	A2	19870428	JP 1985-233346	19851021
JP 06084288	B4	19941026		

GI

AB An emulsifiable herbicidal formulation is prepd. consisting of sucrose esters and chloroacetamides I (R1, R2, R3 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio; Z = alkoxyalkyl, Q1, Q2; R4, R5, R6 = H, halo, alkyl, alkoxy, etc.; R7 and R8 = H or alkyl). N-(2,6-Dimethylphenyl)-N-(2methoxythiophen-2-yl)chloroacetamide 20, Ph sucrose ester 10, Ca

dodecylbenzenesulfonate 5, polyoxyethylene alkylaryl ester 5, and a solvent 60 parts by wt. were mixed to give an emulsion.

IT 103465-74-3 110685-99-9

RL: BIOL (Biological study)

(herbicidal emulsion contg. sucrose ester and)

RN 103465-74-3 CAPLUS

CN Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)

110685-99-9 CAPLUS RN

Acetamide, 2-chloro-N-[4-(ethylthio)phenyl]-N-(1H-pyrazol-1-ylmethyl)-CN (9CI) (CA INDEX NAME)

ANSWER 32 OF 60 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 1987:133805 CAPLUS

DOCUMENT NUMBER: 106:133805

TITLE: Herbicide composition.

INVENTOR(S): Suyama, Toshihisa; Kato, Shozo; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				-
JP 61148103	A2	19860705	JP 1984-268434	19841221

GΙ

$$R^{2}$$
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{7}
 R^{7}

AB I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. and combined with R8CFR9CF2COR10 (R8 R9 = H, halo; R10 = OR11, NR12R13 etc.; R11, R12, R13 = H, alkyl) as herbicide compns. The latter are safeners for I. Thus, 1.81 g N-[2'-(5'-bromo)thienylmethyl]-2,6-dimethylaniline and 0.81 g Et3N was reacted with 0.83 g ClCH2COCl in benzene at 50.degree. for 1 h to give 1.13 g N-[2'-(5'-bromo)thienylmethyl]-N-chloroacetyl-2,6-dimethylanilide (II). II combined with F2CHCF2CO2H, applied at 20 and 4 g/are resp., totally controlled Panicum crus-galli on rice, in pots expts. When II was applied alone, rice damage was shown.

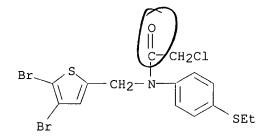
IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

CN Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)



ANSWER 33 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:115252 CAPLUS

DOCUMENT NUMBER: 106:115252

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Suyama, Toshihisa; Kato, Shozo PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61145102 JP 05059882	A2 B4	19860702 19930901	JP 1984-266435	19841219

GΙ

$$R^{2}$$
 R^{3}
 R^{1}
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{7}
 R^{7}

AB Thiophene derivs. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio,

alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and are optionally combined with known phenylpyrimidine derivs. Thus, postemergence, N-(3-thienylmethyl)-N-chloroacetyl-2,6-dimethylanilide (II) and 4,5-dichloro-2-phenylpyrimidine (10 + 5 g/are) totally controlled Panicum crus-galli, Cyperus difformis, and C. serotinus without damage to rice in pots expts. When II was applied alone, rice damage was shown. 103465-74-3P

Liu

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

103465-74-3 CAPLUS RN

IT

Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-CN (ethylthio)phenyl] - (9CI) (CA INDEX NAME)

ANSWER 34 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:133802 CAPLUS

106:133802 DOCUMENT NUMBER:

TITLE: Thienylmethylchloroacetanilide analog herbicides

Kato, Shozo; Kondo, Naohiko; Ogasawara, Masaru INVENTOR(S):

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 17 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

IND DATE	APPLICATION	NO. DATE
		466 19841220
	A2 198607	A2 19860702 JP 1984-267

JP 04071041 B4 19921112

CASREACT 106:133802 OTHER SOURCE(S):

GΙ

$$R^{2}$$

$$CHR^{4}N(COCH_{2}C1)$$

$$R^{5}R^{6}$$

$$R^{7}$$

AΒ The thiophene derivs. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and are eventually combined with white C. Thus, Ca silicate 30, bentonite 15,

Ι

talc 50, and Na tripolyphosphate 5 parts and water were mixed and granulated. These granules 99 and N-[2'-(3'-methoxy)thienylmethyl]-2-chloro-6-methylaniline (II) 1 part were mixed. Postemergence II and white C, applied at 0.5 and 30% resp., totally controlled Panicum crus-galli on rice in pots expts.

IT 103465-74-3P

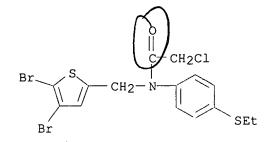
CN

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)



IZO ANSWER 35 OF 60 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 1987:115250 CAPLUS

DOCUMENT NUMBER: 106:115250

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATÉ	APPLICATION NO.	DATE
JP 61143308	A2	19860701	JP 1984-265244	19841218
TP 05003447	B4	19930114		

GI

$$R^{2}$$
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{6}
 R^{7}

Thiophene derivs. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and are optionally combined with sulfonylurea derivs. Thus, postemergence, N-(3-thienylmethyl)-N-chloroacetyl-2,6-dimethylanilide and Chlorosulfuron, applied at 3 + 0.5 g/are, totally controlled Panicum crus-galli, Cyperus difformis, C. serotinus, Scirpus botarui, and Sagittaria pygmaea without

damage to rice in pots expts.

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

Liu

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

CN Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 36 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:133804 CAPLUS

DOCUMENT NUMBER: 106:133804

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61143306	A2	19860701	JP 1984-264551	19841217

GI

$$R^{2}$$
 R^{3}
 R^{1}
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{6}
 R^{7}

AB Thiophene deriv. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and eventually combined with known triazine deriv. for synergism. Thus, postemergence, N-(3'-thienylmethyl)-N-chloroacetyl-2,6-dimethylanilide and Simetryn (2 + 4 g/are) totally controlled Panicum crus-galli, Cyperus difformis, C. serotinus, Scirpus hotarui, and Sagittaria pygmaea without damage to rice, in pots expts.

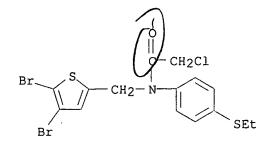
IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

CN Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)



LX6 ANSWER 37 OF 60 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 1987:133803 CAPLUS

DOCUMENT NUMBER: 106:133803

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61143302	A2	19860701	JP 1984-265245	19841218

GΙ

$$R^{2}$$
 R^{3}
 R^{1}
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{7}

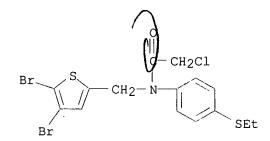
Thiophene derivs. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and eventually combined with known amide derivs. for synergism. Thus, postemergence, N-(3'-thienylmethyl)-N-chloroacetyl-2,6-dimethylanilide and N-propionyl-3,4-dichloroanilide (2 + 8 g/are) totally controlled Panicum crus-galli, Cyperus difformis, C. serotinus, Scirpus hotarui without damage to rice, in pot expts.

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS



1/26 ANSWER 38 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:115246 CAPLUS

DOCUMENT NUMBER: 106:115246

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61140507	A2	19860627	JP 1984-260857	19841212

GI

$$R^2$$
 R^3
 $CHR^4N(COCH_2C1)$
 R^5
 R^6
 R^7

Thiophene derivs. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) were prepd. as herbicides. I are synergistic with ureas and di-Ph ethers. Thus, postemergence, N-(thien-2-ylmethyl)-N-chloroacetyl-2,6-dimethylanilide, p-(2,4,6-trichlorophenoxy)nitrobenzene, and N-.alpha., alpha. dimethylbenzyl-N'-p-tolylurea, applied at 2, 3, and 4 g/are resp., totally controlled Panicum crus-galli, Cyperus difformis, Scirpno hotarui, Sagittaria pygmaea, and Cyperus serotinus without damage to rice in pots expts.

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

ANSWER 39 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:115249 CAPLUS

DOCUMENT NUMBER: 106:115249

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

CODEN: JKXXA

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61140506	A2	19860627	JP 1984-261773	19841213

GI

$$R^{2}$$
 R^{2}
 R^{3}
 R^{5}
 R^{6}
 R^{7}
 R^{7}

AB Thiophene deriv. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and eventually combined with known benzothiazine deriv. Thus, postemergence, N-(3'-thienylmethyl)-N-chloroacetyl-2.6-dimethylanilide and Basagran (2 + 8 g/are) totally controlled Panicum crus-galli, Cyperus difformis, C. serotinus, and Scirpus hotarui, without damage to rice, in pots expts.

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

Le Answer 40 of 60 Caplus Copyright 2001 ACS

ACCESSION NUMBER: 1987:115248 CAPLUS

DOCUMENT NUMBER: 106:115248

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61140504	A2	19860627	JP 1984-260859	19841212
JP 05003445	B4	19930114		

GΙ

$$R^{2}$$
 R^{3}
 R^{1}
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{6}

AB Thiophene deriv. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and are optionally combined with known pyrazole derivs. Thus, postemergence, N-(3-thienylmethyl)-N-chloroacetyl-2,6- dimethylanilide and 4-(2,4-dichlorobenzoyl)-1,3-dimethyl-5-p-tosyloxypyrazole, applied at 2 + 8 g/are, totally controlled Panicum crus-galli, Cyperus difformis, C. serotinus, Scirpus botarui, and Sagittaria pygmaea without damage to rice in pots expts.

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

ANSWER 41 OF 60 CAPLUS COPYRIGHT 2001 ACS

ASCESSION NUMBER: 1987:115247 CAPLUS

DOCUMENT NUMBER: 106:115247

TITLE: Thienylmethylchloroacetanilide herbicides

INVENTOR(S): Kato, Shozo; Suyama, Toshihisa; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61140502	A2	19860627	JP 1984-262883	19841214

GI

$$R^{2}$$
 R^{3}
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{6}
 R^{7}
 I
 $COCH_{2}C1$
 II

Thiophene derivs. I (R1, R2, R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, alkyl, halo, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides and are optionally combined with known cyclic amide derivs. Thus, postemergence, N-(3-thienylmethyl)-N-chloroacetyl-2,6-dimethylanilide and tetrahydroquinoline deriv. II (10 + 5 g/are) totally controlled Panicum crus-galli, Cyperus difformis, and C. serotinus without damage to rice in pot expts.

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

ANSWER 42 OF 60 CAPLUS COPYRIGHT 2001 ACS 1987:133801 CAPLUS ACCESSION NUMBER:

106:133801

DOCUMENT NUMBER:

TITLE:

Thienylmethylchloroacetanilide herbicides

INVENTOR(S):

Kato, Shozo; Kondo, Naohiko; Ogasawara, Masaru

PATENT ASSIGNEE(S):

Tokuyama Soda Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 22 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61134302	A2	19860621	JP 1984-256481	19841206
JP 05003444	В4	19930114		

GI

$$R^{2}$$
 R^{3}
 $CHR^{4}N(COCH_{2}C1)$
 R^{5}
 R^{6}

AB Thiophane deriv. I (R1, R2, R3 = H, halo, alkyl alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5, R6, R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) are prepd. as herbicides. Thus, 1.81 g N-[(5-bromo-2-thienylmethyl)]-2,6-dimethylaniline and 0.81 g Et3N was reacted with 0.83 g chloroacetyl chloride in benzene to give 1.13 g N-[(5-bromo-2-thienylmethyl)]-N-chloroacetyl-2,6-dimethylaniline (II).Postemergence II, applied at 1.5 g/are, totally controlled Panicum crus-galli in rice, in pot expts.

ΙT 103465-74-3P

> RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

ANSWER 43 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:133800 CAPLUS

DOCUMENT NUMBER: 106:133800

TITLE: Herbicide compn.

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61130202	A2	19860618	JP 1984-251495	19841130
JP 05003443	B4	19930114		

GI

$$R^{2}$$
 R^{2}
 R^{2}
 R^{3}
 R^{5}
 R^{6}
 R^{7}

AB A compn. comprising I (R1,R2,R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5,R6,R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) and II (R8,R9,R10,R11,R12,R13 = H, halo, NO2, alkyl, alkoxy, alkylsulfinyl, alkoxycarbonyl, carboxyl) or a II salt) is a synergistic herbicide. Thus, postemergence I (R1 = R2 = R3 = R4 = R7 = H, R5 = 2-Me, R6 = 6-Me) and II (R8 = 2-C1, R9 = 4-C1, R10 = 6-C1, R11 = 4-NO2, R12 = R13 = H) applied at 8 and 2 g/are, resp., totally controlled Panicum crus-galli, Cyperus difformis and Scirpus hotarui in pot expts. Applying each herbicide alone showed less activity. The prepn. of I is given.

Ι

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

CN

Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)

TA 6 ANSWER 44 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:115245 CAPLUS

DOCUMENT NUMBER: 106:115245

TITLE: N-(thienylalkyl)chloroacetanilides and oxadiazolones

as synergistic wide-spectrum herbicides for rice Kato, Shozo; Ishizaki, Masahiko; Ogasawara, Masaru

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko
PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61129101	A2	19860617	JP 1984-249725	19841128

Ι

GΙ

$$R^{2}$$
 R^{2}
 R^{3}
 R^{5}
 R^{6}
 R^{7}

$$\begin{array}{c|c}
R^8 \\
N-N \\
R^{10}
\end{array}$$
Me₃C $\begin{array}{c}
R^8 \\
0
\end{array}$

AB A compn. comprising (thienylalkyl)acetanilides I (R1-R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5-R7 = H, halo, alkyl, alkenyl, alkoxy, alkylthio) and oxadiazolones II (R8-R10 = H, halo, alkyl, alkoxy) is a synergistic wide-spectrum herbicide for rice. Thus, postemergence I (R1-R4, R7 = H; R5, R6 = 2,6-Me2) (prepn. given) and II (R8, R9 = 2,4-C12, R10 = 6-iso-PrO) (8 + 3 g/are) totally controlled Echinochroa crus-galli, Cyperus difformus, and Scirpus hotarui in rice. Applying each herbicide alone showed less activity.

IT 103465-74-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP

(Preparation); USES (Uses)
 (prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

CN Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)

Answer 45 of 60 Caplus Copyright 2001 ACS

ACCESSION NUMBER: 1987:115244 CAPLUS

DOCUMENT NUMBER: 106:115244

TITLE: Synergistic herbicidal compositions containing

N-(thienylalkyl)chloroacetanilides and urea

derivatives

INVENTOR(S): Kato, Shozo; Ishizaki, Masahiko; Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent .

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61122207	A2	19860610	JP 1984-243202	19841120
TP 05003446	R4	19930114		

Ι

GΙ

$$R^{2}$$
 CHR⁴N (COCH₂C1) R^{5} R6

AB A compn. comprising I (R1-R3 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R4 = H, alkyl; R5-R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkylthio) and II [R8 = (un)substituted alkyl, Ph; R9, R10 = alkyl; R11 = H, alkyl; R12, R13 = H, halo, (un)substituted alkyl, alkoxy] is a synergistic wide-spectrum herbicide for rice. Thus, postemergence I (R1-R4 = R7 = H, R5R6 = 2,6-Me2) (prepn. given) and II (R8 = Ph, R9 = R10 = Me, R11 = R13 = H, R12 = 4-Me) (8 + 2 g/are) totally controlled Echinochloa crus-galli, Cyperus difformis, and Scirpus hotarui

in rice. Applying each herbicide alone showed less activity.

ΙT 103465-74-3P

> RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103465-74-3 CAPLUS

Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-CN (ethylthio)phenyl] - (9CI) (CA INDEX NAME)

ANSWER 46 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:

1986:460516 CAPLUS

DOCUMENT NUMBER:

105:60516

TITLE:

N-Substituted chloroacetanilides

INVENTOR(S):

Kato, Shozo; Takematsu, Tetsuo; Ishizaki, Masahiko;

Ogasawara, Masaru

PATENT ASSIGNEE(S):

Tokuyama Soda Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 20 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61065881	A2	19860404	JP 1984-188081	19840910

OTHER SOURCE(S):

CASREACT 105:60516

GT

Title compds. I (R = halo, alkoxy, alkylthio, alkyl; R1, R2, R3 = H, halo, AB alkyl, alkenyl, alkynyl, alkoxy, alkylthio; n = 2, 3), useful as herbicides, were prepd. Thus, stirring 1.25 g N-[(4,5-dibromo-2thienyl)methyl]-2,6-dimethylaniline with 0.43 g ClCH2COCl and 0.44 g Et3N in benzene at 0.degree. for 2 h and at room temp. for 4 h gave 0.88 g I (Rn = 4,5-Br2; R1 = 2-Me, R2 = H, R3 = 6-Me) (II). II showed herbicidal activity at 25 g/are.

IT 103465-74-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and herbicidal activity of)

RN 103465-74-3 CAPLUS

CN Acetamide, 2-chloro-N-[(4,5-dibromo-2-thienyl)methyl]-N-[4-(ethylthio)phenyl]- (9CI) (CA INDEX NAME)

126 ANSWER 47 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1986:442630 CAPLUS

DOCUMENT NUMBER: 105:42630

TITLE: N-Substituted chloroacetanilides

INVENTOR(S): Kato, Shozo; Takematsu, Tetsuo; Ishizaki, Masahiko;

Ogasawara, Masaru

PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		-		
JP 61036280	A2	19860220	JP 1984-153986	19840726

JP 05013160 B4 19930219

OTHER SOURCE(S): CASREACT 105:42630

GΙ

$$R^{2}$$
 R^{1}
 $CHR6N$
 R^{3}
 R^{4}
 R^{5}
 $COCH_{2}Cl$
 I

AB Title compds. I (R = halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R1, R2 = H, halo, alkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl; R3, R4, R5 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, alkyithio; R6 = H, alkyl), useful as herbicides, were prepd. Thus, N-acylation of N-(2-methoxy-3-thienylmethyl)-2,6-dimethylaniline with C1CH2COCl gave I (R = 2-MeO, R1 = R2 = R5 = R6 = H, R3 = 2-Me, R4 = 6-Me) (II). II showed herbicidal activity at 12.5 g/are.

IT 103117-06-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 103117-06-2 CAPLUS

Acetamide, 2-chloro-N-[4-(ethylthio)phenyl]-N-[[5-(methoxymethyl)-3-CN thienyl]methyl]- (9CI) (CA INDEX NAME)

L2.6 ANSWER 48 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:

1985:406367 CAPLUS

DOCUMENT NUMBER:

103:6367

TITLE:

Amines as fungicidal agents

INVENTOR(S):

Krumkalns, Eriks Victor; Smiley, David Lee

PATENT ASSIGNEE(S):

Lilly, Eli, and Co., USA

Eur. Pat. Appl., 86 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 129433	A2	19841227	EP 1984-304094	19840618
EP 129433 R: BE, CH,	A3 DE, FR	19870506 , GB, IT, LI	, LU, NL, SE	
US 4552960	A	19851112	US 1984-595866	19840402
IL 72094	A1	19880731	IL 1984-72094	19840613
DK 8402970	Α	19841221	DK 1984-2970	19840618
GB 2141714	A1	19850103	GB 1984-15506	19840618
GB 2141714	B2	19861210		
CA 1240998	A1	19880823	CA 1984-456799	19840618
JP 60013760	A2	19850124	JP 1984-128413	19840619
HU 34102	0	19850228	HU 1984-2355	19840619
ни 198690	В	19891128		
BR 8402996	A	19850528	BR 1984-2996	19840619
PRIORITY APPLN. INFO	. :		US 1983-506174	19830620
			US 1984-595866	19840402

OTHER SOURCE(S): CASREACT 103:6367

About 57 R1(CHR2)mN(CHR3R4)(CH2)nR5 [R2 = H, alkyl, (un)substituted Ph; R3 = H, Ph; R4 = H, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, dioxanyl, naphthlenyl; R1 = (un)substituted pyridyl, or pyridazenyl, or pyrimidinyl or pyrazinyl; R5 = (un) substituted Ph or phenylthio or phenoxy; m = 0-2; n = 0-3], plant fungicides, were prepd. Thus, the imine prepd. from 4-chlorobenzaldehyde and 5-aminopyrimidine was reduced with NaBH4, then alkylated with BuI to give N-butyl-N-[(4-chlorophenyl)methyl]-(5pyrimidinyl)amine (I). At 400 ppm, I showed complete control of leaf rust on wheat (foliar spray application).

TT 96399-70-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

RN 96399-70-1 CAPLUS

CN 3-Pyridinemethanamine, N-2-butenyl-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

AG ANSWER 49 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1984:148633 CAPLUS

DOCUMENT NUMBER: 100:148633

TITLE: Liquid crystal composition

INVENTOR(S): Kaneko, Masaharu; Yoneyama, Tomio; Iwanami, Junko;

Imazeki, Syuji; Mukoo, Akio; Sato, Mikio

PATENT ASSIGNEE(S): Hitachi, Ltd., Japan; Mitsubishi Chemical Industries

Co., Ltd.

SOURCE: Eur. Pat. Appl., 59 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 98522	A2	19840118	EP 1983-106353	19830629
EP 98522	A3	19860319		
EP 98522	В1	19890607		
R: CH, DE,	GB, LI			
JP 59004674	A2	19840111	JP 1982-111689	19820630
JP 04061035	B4	19920929		
JP 59004675	A2	19840111	JP 1982-111690	19820630
JP 06013703	B4	19940223		
US 4588517	Α	19860513	US 1983-509051	19830629
PRIORITY APPLN. INFO.	. :		JP 1982-111689	19820630
			JP 1982-111690	19820630

GI

F3C
$$\sim$$
 N=N \sim N (Me) C6H13

AB A pleochroic dye for liq. crystal displays is described which exhibits a high order parameter and good soly. in the liq. crystal mixts. The dye mol. contains as end group(s) NRR1 (R = a straight chain alkyl group in which -CH2- groups not adjacent to N atom may be substituted by O or S; R1 = alkyl having a different chain than that of R or CH2C6H4-p-R2, R2 = H, alkyl, alkoxy, cycloalkyl, dialkylamino, in R1 -CH2- not adjacent to N may be substituted by O or S). Thus, a display cell (with a gap between glass

Ι

plates of 10.mu.) was filled with a compn. contg. ZLI-1132 and I 0.73 wt.%. Dye order parameter S equaled 0.74, absorbances A|| and A.perp. (measured with the light polarized in the direction parallel and perpendicular to the orientation of the mols. of the liq. crystal) equaled 1.036 and 0.129, resp.

IT 89134-60-1 89134-90-7

RL: USES (Uses)

(liq.-crystal display device contg., order parameter of)

RN 89134-60-1 CAPLUS

2-Anthracenecarbothioic acid, 1,4-diamino-9,10-dihydro-9,10-dioxo-, CN S-[4-[methyl(phenylmethyl)amino]phenyl] ester (9CI) (CA INDEX NAME)

RN 89134-90-7 CAPLUS

3,9-Perylenedicarbothioic acid, S,S-bis[4-[methyl(phenylmethyl)amino]pheny CN l] ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$CH_2-N$$

PAGE 1-B



L26 ANSWER 50 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1984:191866 CAPLUS

DOCUMENT NUMBER: 100:191866

TITLE:

Fungicidal heterocyclic amines

Krumkalns, Eriks Victor; Smiley, David Lee INVENTOR(S):

Lilly, Eli, and Co. , USA PATENT ASSIGNEE(S): SOURCE: Brit. UK Pat. Appl., 40 pp. CODEN: BAXXDU

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2121414	A1	19831221	GB 1983-15446	19830606
GB 2121414	B2	19860305		
US 4552886	Α	19851112	US 1983-472439	19830307
CA 1223586	A1	19870630	CA 1983-429587	19830602
DK 8302569	A	19831208	DK 1983-2569	19830606
EP 97013	A2	19831228	EP 1983-303256	19830606
EP 97013	A3	19850102		
R: BE, CH,	DE, FR	, GB, IT,	LI, LU, NL, SE	
JP 59001481	A2	19840106	JP 1983-101597	19830606
BR 8302975	A	19840207	BR 1983-2975	19830606
ни 31956	0	19840628	ни 1983-2019	19830606
HU 193491	В	19871028		
PRIORITY APPLN. INFO).:		US 1982-385602	19820607
			US 1983-472439	19830307

OTHER SOURCE(S):

CASREACT 100:191866

GT

The title compds. I [X = O, S; X1 = bond, (un)substituted CH2, CH2CH2; R = pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl; R1 = (un)substituted alkyl, Ph, alkenyl, alkoxy, cycloalkyl; R2-R4 = H, alkyl; n = 0, 1] were prepd. Thus II (R5 = H) was treated with CH2:CHCH2NCS to give II (R5 = CSNHCH2CH:CH2) which was cyclized with acid to III. At 10 ppm III gave 86% growth inhibition of Hydrilla verticillata. At 35 lb/acre II protected cotton against damping off by Rhizoctonia.

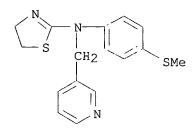
IT 89985-16-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and plant growth-inhibiting and fungicidal activity of)

RN 89985-16-0 CAPLUS

CN 3-Pyridinemethanamine, N-(4,5-dihydro-2-thiazolyl)-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



ANSWER 51 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

1977:551868 CAPLUS

DOCUMENT NUMBER:

87:151868

TITLE:

Urea derivatives

INVENTOR(S):

Yamamoto, Michihiro; Koshiba, Masao; Yamamoto, Hisao

Sumitomo Chemical Co., Ltd., Japan

SOURCE:

Japan. Kokai, 7 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

1

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52073801	A2	19770621	JP 1975-151617	19751217
JP 59008272	B4	19840223		

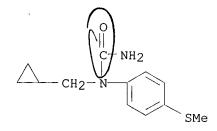
AB Sixty-five urea derivs. RR1NCONR2R3 (R = alkyl, cycloalkyl, aralkyl, adamantyl, aryl, heterocyclic; R1 = H, alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl; RNR1 may form a ring; R2 = H, alkyl, alkenyl, cycloalkyl, aralkyl, alkoxy; R3 = H, alkyl, alkenyl; R2NR3 may form a ring) were prepd. by reaction of RR1NH with X3CCO2H (X = halo) or their derivs. followed by reaction of the resulting RR1NCOCX3 with R2R3NH. Thus, 10 g Et3N was added to a mixt. of 12.8 g 4-ClC6H4NH2 and 18.2 g Cl3CCOCl in C6H6 with ice cooling and the whole stirred 5 h at room temp. to give 86% 4-ClC6H4NHCOCCl3 (I). Autoclaving 1.37 g I with 3 g NH3 at room temp. overnight gave 94% 4-ClC6H4NHCONH2.

IT 64407-56-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 64407-56-3 CAPLUS

CN Urea, N-(cyclopropylmethyl)-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



ANSWER 52 OF 60 CAPLUS COPYRIGHT 2001 ACS

Adcession number:

1976:30945 CAPLUS

DOCUMENT NUMBER:

84:30945

TITLE:

Condensation products of saccharin with some amines.

AUTHOR(S):

Kutlu, Husamettin

CORPORATE SOURCE:

Eczacilik Fak., Univ. Istanbul, Istanbul, Turk.

SOURCE:

Istanbul Univ. Eczacilik Fak. Mecm. (1975), 11(1), 5-7

CODEN: IEFMA9

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GΙ

For diagram(s), see printed CA Issue.

ΑB

Mannich reaction of saccharin with sulfathiazole gave the secondary Mannich base I; analogous condensation products were obtained with sulfanilamide and sulfadiazine. Isonicotinic acid hydrazide reacted with

saccharin to give N-benzisothiazol-3-ylisonicotinic acid hydrazide.

IT 57727-89-6P 57727-90-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 57727-89-6 CAPLUS

CN Benzenesulfonamide, 4-[[[(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)yl)methyl][4-[(2-thiazolylamino)sulfonyl]phenyl]amino]methyl]amino]-N-2thiazolyl- (9CI) (CA INDEX NAME)

RN 57727-90-9 CAPLUS

CN Benzenesulfonamide, 4-[[(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)yl)methyl][[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]methyl]amino]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

L26 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 1975:593121 CAPLUS

DOCUMENT NUMBER: 83:193121

TITLE: N-Substituted derivatives of succinimide. II

AUTHOR(S): Kutlu, Husamettin

CORPORATE SOURCE: Eczacilik Fak., Univ. Istanbul, Istanbul, Turk.

SOURCE: Istanbul Univ. Eczacilik Fak. Mecm. (1975), 11(1), 1-4

CODEN: IEFMA9

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Mannich bases were prepd. by reaction of succinimide with paraformaldehyde and sulfanilamide, sulfathiazole (I), sulfamerazine (II), 3-amino-, or 3,5-diamino-1H-1,2,4-triazole. Thus, heating a mixt. of succinimide, paraformaldehyde, and I for 4 hr gave III (R = H, R1 = 2-thiazoly1) in 81.0% yield. Similar reaction with II gave 56.8% III (R = CH2OH, R1 = 4-methy1-2-pyrimidiny1).

IT 57240-64-9P 57240-65-0P 57320-94-2P

RN 57240-64-9 CAPLUS

CN Benzenesulfonamide, 4-[[(2,5-dioxo-1-pyrrolidinyl)methyl][[[4-[[(hydroxymethyl)amino]sulfonyl]phenyl]amino]methyl]amino]-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 57240-65-0 CAPLUS

CN Benzenesulfonamide, 4-[[(2,5-dioxo-1-pyrrolidinyl)methyl][[(hydroxymethyl) [4-[[(4-methyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]methyl]amino]-N-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 57320-94-2 CAPLUS

CN Benzenesulfonamide, 4-[(2,5-dioxo-1-pyrrolidinyl)methyl][[[4-[(2-dioxo-1)-dioxo-1])]]thiazolylamino)sulfonyl]phenyl]amino]methyl]amino]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

ANSWER 54 OF 60 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER:

1970:100325 CAPLUS

DOCUMENT NUMBER:

72:100325

TITLE:

Yellow color formers

INVENTOR(S):

Schulte, Walter; Maeder, Helmut; Pelz, Willibald;

Nittel, Fritz; Reckziegel, Erich

PATENT ASSIGNEE(S):

Gevaert-Agfa N. V.

SOURCE:

Belg., 18 pp.

CODEN: BEXXAL

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO. DATE

BE 717841

19690110

PRIORITY APPLN. INFO.:

DE 19680710

GΙ For diagram(s), see printed CA Issue.

AB Light and heat stable color formers for AgX films are prepd. Thus, 81 g H2NC18H37 and 41 ml Et3N are dissolved in 800 ml tetrahydrofuran (THF), 75 g 3,4-O2N(MeO)-C6H3SO2Cl in 200 ml THF added dropwise, and the soln. stirred 1 hr at 25.degree. to give 140 g 3,4-O2N(MeO)C6H3SO2NHC18H37 (I) m. 102.degree. (dioxane). I (130 g) is reduced in 1.61. MeOH over Raney Ni under 50 atm. H at 50.degree. to give 100 g 3,4-H2N(MeO)C6H3SO2-NHC18H37 (II), m. 89.degree.. II (34 g) in 25 ml Et3N and 300 ml PHCl is refluxed 4 hr at 140.degree. with 25 g p-MeOC6H4CO2Ac 4 hr in 100 ml PHCl. After pptn. with MeOH, 38 g solid, m. 140.degree., was reacted at room temp. with 230 ml dil. H2SO4, and the soln. heated to 40.degree. for 1 hr

to give 28 g III (R1 = OMe, R2 = H, R3 = C18H37), m. 140.degree. (MeOH). III similarly prepd. were (R1, R2, and R3 given): morpholino, H, C18H37; MeN(C18H37), H, Me; MeNCH2Ph, Me, C18H27; Et2N, H, C18H37; C1, H, C18H37; MeNC18H37, H, Bu; MeNC18H37, Et, Et; C5H11N, H, C18H37; OC16H33, H, Me; MeNC18H37, H, Et; OMe, Me, C18H37. Addn. of a basic alc. soln. contg. any III to a photographic AgBr gelatine emulsion followed by coating, exposure and development gave rise to absorbance of 0.5-1.5.

IT 26093-37-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 26093-37-8 CAPLUS

CN Sulfanilamide, N4-benzyl-N1,N4-dimethyl-3-nitro-N1-octadecyl- (8CI) (CA INDEX NAME)

L26 ANSWER 55 OF 60 USPATFULL

ACCESSION NUMBER: 96:65567 USPATFULL

TITLE: Substituted tertiary amino compound or salt thereof

INVENTOR(S):

Substituted tertiary amino compound of sait thereo
Inventor(S):

Okada, Minoru, Ibaraki, Japan

Yoden, Toru, Ibaraki, Japan Kawaminami, Eiji, Ibaraki, Japan Shimada, Yoshiaki, Ibaraki, Japan Kudou, Masafumi, Ibaraki, Japan Isomura, Yasuo, Ibaraki, Japan

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Tokyo, Japan

(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5538976		19960723	
	WO 9322290		19931111	##STR1##
APPLICATION INFO.:	US 1994-325383		19941026	(8)
	WO 1993-JP548		19930427	
			19941026	PCT 371 date
			19941026	PCT 102(e) date

JP 1992-234298

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Gupta, Yogendra N. LEGAL REPRESENTATIVE: Burgess, Ryan and Wayne

NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 1560

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A substituted tertiary amino compound represented by general formula (I) or a pharmaceutically acceptable salt thereof. They have an aromatase inhibiting activity and are useful as a prophylactic and/or therapeutic agent for breast cancer, mastopathy, endometriosis,

19920810

prostatic-hypertrophy, and so forth.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 157911-86-9P

(prepn. of, as aromatase inhibitor)

RN 157911-86-9 USPATFULL

CN 5-Pyrimidinamine, N-[(4-bromophenyl)methyl]-N-[4-(methylsulfonyl)phenyl](9CI) (CA INDEX NAME)

L26 ANSWER 56 OF 60 USPATFULL

ACCESSION NUMBER: 91:6920 USPATFULL

TITLE: Organic thin-film device INVENTOR(S): Sato, Itsuko, Tokyo, Japan

INVENTOR(S): Sato, Itsuko, Tokyo, Japan Naito, Katsuyuki, Yokohama, Japan Genma, Nobuhiro, Yokohama, Japan

Genma, Nobuhiro, Yokohama, Japan Azuma, Makoto, Yokohama, Japan

PATENT ASSIGNEE(S): Kabushiki Kaisha Toshiba, Kawasaki, Japan (non-U.S.

corporation)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Sluby, P. C.

LEGAL REPRESENTATIVE: Foley & Lardner, Schwartz, Jeffery, Schwaab, Mack,

Blumenthal & Evans

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 7 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 651

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An organic thin film device, including first and second organic thin films containing acceptor and doner molecules, respectively, stacked one on another, in which at least one of the first and second organic thin films contains a chemical species having a dipole moment P.sub.2, and the second dipole moment P.sub.2 and a dipole moment P.sub.1 produced by charge transfer between the acceptor and doner molecules satisfy the following formula:

(P.sub.1 .multidot.P.sub.2).vertline.r.vertline..sup.2 -3(P.sub.1 .multidot.r)(P.sub.2 .multidot.r)<0

wherein r represents a positional relationship between P.sub.1 and P.sub.2. Also disclosed is an organic thin film device, including the first and second organic thin films, and at least one of the first and second organic thin films contains at least one pigment skeleton which is inclined with respect to the lamination direction of the organic thin films.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 126229-92-3

(electrooptical display device contg. thin films of)

RN 126229-92-3 USPATFULL

CN Propanedinitrile, 2,2'-[2-[[methyl[4-[(2-octadecyleicosyl)sulfonyl]phenyl] amino]methyl]-2,5-cyclohexadiene-1,4-diylidene]bis- (9CI) (CA INDEX NAME)

ANSWER 57 OF 60 USPATFULL

A¢CÉSSION NUMBER: USPATFULL 89:67476

TITLE:

INVENTOR(S):

Substituted quinazolinones as anticancer agents Berman, Ellen M., Ann Arbor, MI, United States Werbel, Leslie M., Ann Arbor, MI, United States McNamara, Dennis J., Ann Arbor, MI, United States

PATENT ASSIGNEE(S):

Warner-Lambert Company, Morris Plains, NJ, United

States (U.S. corporation)

	NUMBER	KIND	DATE	
APPLICATION INFO.:			19890815 19871103	(7)
DOCUMENT TYPE: FILE SEGMENT: PRIMARY EXAMINER:	Utility Granted Hollrah, Glennon	н.		
ASSISTANT EXAMINER: LEGAL REPRESENTATIVE:	Turnipseed, James Tinney, Francis J	н.		
NUMBER OF CLAIMS: EXEMPLARY CLAIM:	17 1,16			
LINE COUNT: CAS INDEXING IS AVAILABI	1178 LE FOR THIS PATENT	٠.		
	1 4 4 0 77 1 1		•	

AΒ Novel 6-substituted-4(3H)-quinazolinones are described as well as methods for the preparation and pharmaceutical composition of same, which inhabit the enzyme thymidylate synthase (TS) and are thus useful as anticancer agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

123685-37-0P 123685-53-0P

(prepn. of, as antitumor agent)

RN 123685-37-0 USPATFULL

CN Benzenesulfonamide, 4-[[(2-amino-1,4-dihydro-4-oxo-6-quinazolinyl)methyl]- 2-propynylamino]-N, N-dimethyl- (9CI) (CA INDEX NAME)

$$HC = C - CH_2$$

$$N - CH_2$$

$$N - CH_2$$

$$N - CH_2$$

RN 123685-53-0 USPATFULL

CN Propanamide, N-[6-[[[4-[(dimethylamino)sulfonyl]phenyl]-2propynylamino]methyl]-1,4-dihydro-4-oxo-2-quinazolinyl]-2,2-dimethyl-(9CI) (CA INDEX NAME)

$$HC = C - CH_2$$

$$N - CH_2$$

$$N - CH_2$$

$$N - CH_2$$

L26 ANSWER 58 OF 60 USPATFULL

ACCESSION NUMBER: 86:27992 USPATFULL

TITLE: Liquid crystal composition

INVENTOR(S): Kaneko, Masaharu, Yamato, Japan Yoneyama, Tomio, Kawasaki, Japan

Yoneyama, Tomio, Kawasaki, Japan Iwanami, Junko, Yamato, Japan Imazeki, Shuji, Hitachi, Japan

Mukoh, Akio, Mito, Japan Sato, Mikio, Hitachi, Japan

PATENT ASSIGNEE(S): Hitachi, Ltd., Tokyo, Japan (non-U.S. corporation)

Mitsubishi Chemical Industries, Ltd., Tokyo, Japan

(non-U.S. corporation)

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Gron, Teddy S.

LEGAL REPRESENTATIVE: Antonelli, Terry & Wands

NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 9 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 457

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The description is concerned with a liquid crystal composition of the guest-host type which contains a pleochroic dye dissolved therein. The dye molecule has an end group or end groups in the molecule represented by the general formula: ##STR1## where Ro designates a straight chain of alkyl group, in which methylene group not adjacent to the nitrogen atom may be substituted by oxygen atom or sulfer atom; R1 designates an alkyl group having a chain length different from that of the alkyl group of Ro or a group ##STR2## in R1 a methylene group not adjacent to the nitrogen atom may be substituted by oxygen atom or sulfur atom, and R2 designates hydrogen atom, alkyl group, alkoxy group, cycloalkyl group or dialkylamino group.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 89134-60-1 89134-90-7

(liq.-crystal display device contg., order parameter of)

Liu

RN 89134-60-1 USPATFULL

CN 2-Anthracenecarbothioic acid, 1,4-diamino-9,10-dihydro-9,10-dioxo-, S-[4-[methyl(phenylmethyl)amino]phenyl] ester (9CI) (CA INDEX NAME)

RN 89134-90-7 USPATFULL

CN 3,9-Perylenedicarbothioic acid, S,S-bis[4-[methyl(phenylmethyl)amino]pheny 1] ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L26 ANSWER 59 OF 60 USPATFULL

85:66920 USPATFULL ACCESSION NUMBER: Fungicidal amines TITLE:

Krumkalns, Eriks V., Indianapolis, IN, United States INVENTOR(S):

Smiley, David L., Greenfield, IN, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States

(U.S. corporation)

NUMBER KIND DATE -----

US 4552960 19851112 19840402 (6) PATENT INFORMATION: APPLICATION INFO.: US 1984-595866

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1983-506174, filed

on 20 Jun 1983, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted Kight, John PRIMARY EXAMINER: ASSISTANT EXAMINER:

Moore, M. L.

Barclay, Bruce J., Page, Kathaleen S., Whale, Arthur R. LEGAL REPRESENTATIVE: NUMBER OF CLAIMS:

EXEMPLARY CLAIM: 1594 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

N, N-Disubstituted heterocyclic amines are useful as fungicides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 96399-70-1P

(prepn. and fungicidal activity of)

RN 96399-70-1 USPATFULL

CN 3-Pyridinemethanamine, N-2-butenyl-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

L26 ANSWER 60 OF 60 USPATFULL

ACCESSION NUMBER: 85:66846 USPATFULL

Fungicidal pyridylmethyl-amines TITLE:

INVENTOR(S): Krumkalns, Eriks V., Indianapolis, IN, United States

Smiley, David L., Greenfield, IN, United States

Eli Lilly and Company, Indianapolis, IN, United States PATENT ASSIGNEE(S):

(U.S. corporation)

NUMBER KIND DATE US 4552886 PATENT INFORMATION: 19851112

US 1983-472439 APPLICATION INFO.: 19830307 (6)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1982-385602, filed

on 7 Jun 1982, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Rotman, Alan L.

Barclay, Bruce J., Page, Kathleen R. S., Whale, Arthur LEGAL REPRESENTATIVE:

R.

NUMBER OF CLAIMS: 54 EXEMPLARY CLAIM:

1,29

LINE COUNT:

1653

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ N-Thiazolyl heterocyclic amines, useful as fungicides and aquatic plant

growth regulators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

89985-16-0P

(prepn. and plant growth-inhibiting and fungicidal activity of)

RN 89985-16-0 USPATFULL

3-Pyridinemethanamine, N-(4,5-dihydro-2-thiazolyl)-N-[4-CN

(methylthio)phenyl] - (9CI) (CA INDEX NAME)

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L15 STR 198 SEA FILE=REGISTRY SSS FUL L15 L17 L19 STR L20 STR 145 SEA FILE=REGISTRY SUB=L17 SSS FUL (L15 AND (L19 OR L20)) L22 L25 2 SEA FILE=CAOLD ABB=ON L22

=> d iall hitstr 125 1-2; fil hom

L25 ANSWER 1 OF 2 CAOLD COPYRIGHT 2001 ACS

ACCESSION NUMBER: CA57:16446a CAOLD

TITLE: redn. of Schiff bases - (IV) reductive acylation of Schiff

bases using trimethylamine borane

AUTHOR NAME:

Billman, John H.; McDowell, J. W.

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INDEX TERM:

IT 94931-0
RN 94931-
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91-73-6 939-79-7 14429-15-3 19672-91-4 33224-23-6 61667-88-7 61667-90-1 81575-55-5 81575-56-6 92435-85-3 92580-45-5 92852-79-4 93008-11-8 93987-32-7 94164-94-0 94931-07-4 97433-45-9

IT 94931-07-4 97433-45-9

RN 94931-07-4 CAOLD

CN Acetamide, N-(N-benzyl-N-ethylsulfanilyl)- (7CI) (CA INDEX NAME)

RN 97433-45-9 CAOLD

CN Acetanilide, 4'-(acetylsulfamoyl)-N-benzyl- (7CI) (CA INDEX NAME)

L25 ANSWER 2 OF 2 CAOLD COPYRIGHT 2001 ACS

ACCESSION NUMBER: CA53:16164a CAOLD TITLE: diphenylamine derivs.

PATENT ASSIGNEE: Sandoz Ltd.

DOCUMENT TYPE: Patent

PATENT NO. KIND DATE

PI GB 808112

INDEX TERM: 13313-45-6 18902-91-5 18902-93-7 18902-94-8 27122-82-3

60709-95-7 68083-49-8 92547-65-4 94257-39-3 102028-01-3 103261-78-5 103643-15-8 104095-63-8 104095-65-0 108478-66-6

108715-60-2 **110196-00-4** 113651-29-9 113651-30-2 114162-56-0 114164-49-7 116929-58-9 123152-92-1

IT 110196-00-4

RN 110196-00-4 CAOLD

CN Piperidine, 2-[2-[p-(ethylthio)-N-phenylanilino]ethyl]-1-methyl- (6CI) (CA INDEX NAME)

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